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LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	JUL 20	Powerful new interactive analysis and visualization software, STN AnaVist, now available
NEWS 4	AUG 11	STN AnaVist workshops to be held in North America
NEWS 5	AUG 30	CA/CAplus -Increased access to 19th century research documents
NEWS 6	AUG 30	CASREACT - Enhanced with displayable reaction conditions
NEWS 7	SEP 09	ACD predicted properties enhanced in REGISTRY/ZREGISTRY
NEWS 8	OCT 03	MATHDI removed from STN
NEWS 9	OCT 04	CA/CAplus-Canadian Intellectual Property Office (CIPO) added to core patent offices
NEWS 10	OCT 06	STN AnaVist workshops to be held in North America
NEWS 11	OCT 13	New CAS Information Use Policies Effective October 17, 2005
NEWS 12	OCT 17	STN(R) AnaVist(TM), Version 1.01, allows the export/download of CAplus documents for use in third-party analysis and visualization tools
NEWS 13	OCT 27	Free KWIC format extended in full-text databases
NEWS 14	OCT 27	DIOGENES content streamlined
NEWS 15	OCT 27	EPFULL enhanced with additional content

NEWS EXPRESS	JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:15:24 ON 13 NOV 2005

```
=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files.  For example, the EXPAND
command can only be used to look at the index in a file which has an
index.  Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of
commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 12:15:35 ON 13 NOV 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   11 NOV 2005  HIGHEST RN 867335-63-5
DICTIONARY FILE UPDATES:  11 NOV 2005  HIGHEST RN 867335-63-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

*************************************************************************
*                                                                       *
* The CA roles and document type information have been removed from     *
* the IDE default display format and the ED field has been added,       *
* effective March 20, 2005.  A new display format, IDERL, is now        *
* available and contains the CA role and document type information.     *
*                                                                       *
*************************************************************************

Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10688566.str
```
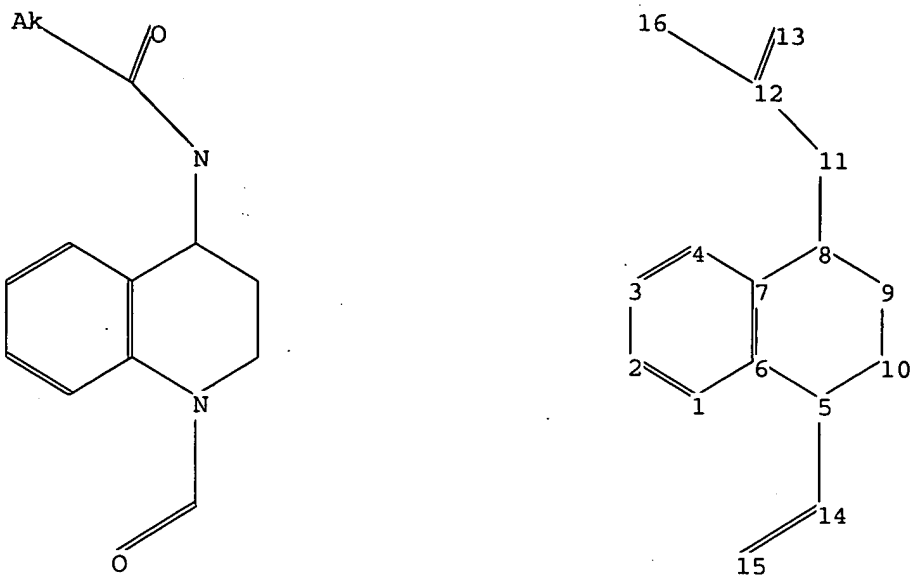
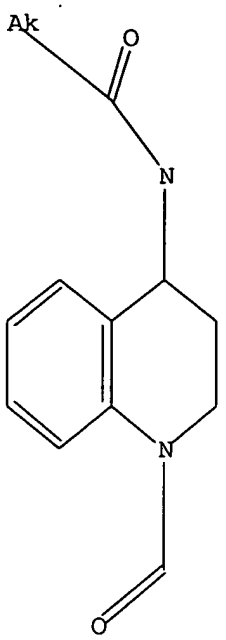



chain nodes :
11 12 13 14 15 16
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
5-14 8-11 11-12 12-13 12-16 14-15
ring bonds :
1-2 1-6 2-3 3-4 4-7 5-6 5-10 6-7 7-8 8-9 9-10
exact/norm bonds :
5-6 5-10 5-14 7-8 8-9 8-11 9-10 11-12 12-13 12-16 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-7 6-7
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS

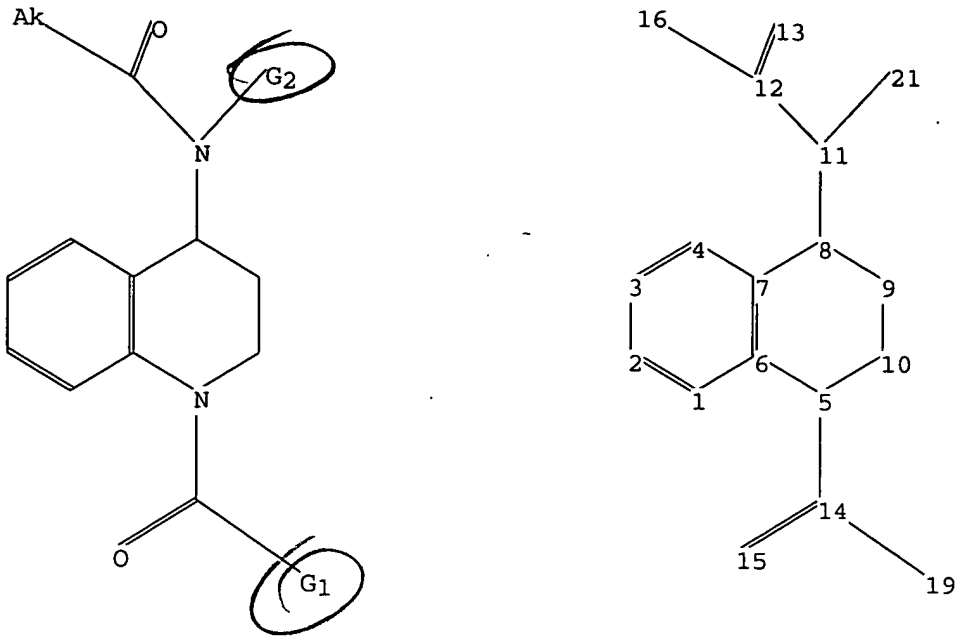
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 12:15:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      323 TO ITERATE

100.0% PROCESSED      323 ITERATIONS                          31 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          5382 TO     7538
PROJECTED ANSWERS:              286 TO      954

L2            31 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 12:15:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     5946 TO ITERATE

100.0% PROCESSED     5946 ITERATIONS                         614 ANSWERS
SEARCH TIME: 00.00.01

L3            614 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10688566a.str
```



chain nodes :
11 12 13 14 15 16 19 21
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
5-14 8-11 11-12 11-21 12-13 12-16 14-15 14-19
ring bonds :
1-2 1-6 2-3 3-4 4-7 5-6 5-10 6-7 7-8 8-9 9-10
exact/norm bonds :
5-6 5-10 5-14 7-8 8-9 8-11 9-10 11-12 11-21 12-13 12-16 14-15 14-19
normalized bonds :
1-2 1-6 2-3 3-4 4-7 6-7
isolated ring systems :
containing 1 :

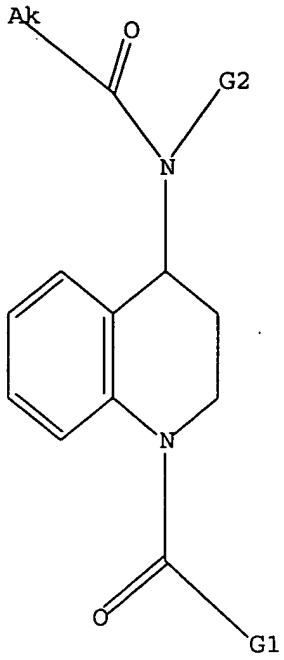
G1:Cb,Cy,Hy

G2:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 19:CLASS 21:CLASS

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR



G1 Cb,Cy,Hy
G2 H,Ak

Structure attributes must be viewed using STN Express query preparation.

```
=> s l4
SAMPLE SEARCH INITIATED 12:19:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      323 TO ITERATE

100.0% PROCESSED      323 ITERATIONS                          1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         5382 TO     7538
PROJECTED ANSWERS:               1 TO       80

L5             1 SEA SSS SAM L4

=> s l4 sss full
FULL SEARCH INITIATED 12:19:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     5946 TO ITERATE

100.0% PROCESSED     5946 ITERATIONS                         15 ANSWERS
SEARCH TIME: 00.00.01

L6             15 SEA SSS FUL L4

=> FIL HCAPLUS
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                              ENTRY    SESSION
FULL ESTIMATED COST                           324.38     324.59
```

FILE 'HCAPLUS' ENTERED AT 12:19:18 ON 13 NOV 2005
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FILE COVERS 1907 - 13 Nov 2005 VOL 143 ISS 21
FILE LAST UPDATED: 11 Nov 2005 (20051111/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l3
L7            43 L3

=> s l6
L8             6 L6

=> s l7 and py<=2002
      22790371 PY<=2002
L9            21 L7 AND PY<=2002

=> s l9 and antagonists
        113718 ANTAGONISTS
L10            1 L9 AND ANTAGONISTS

=> s l8 and antagonists
        113718 ANTAGONISTS
L11            5 L8 AND ANTAGONISTS

=> d l6 ibib abs hitstr tot
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n


=> d l8 ibib abs hitstr tot

L8   ANSWER 1 OF 6  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2005:76242  HCAPLUS
DOCUMENT NUMBER:          142:176711
TITLE:                    N-Substituted 4-aminotetrahydroquinolines with CRTH2
                          and PGD2 receptor activity, and their preparation,
                          pharmaceutical compositions, and use as asthma and
                          allergic inflammation modulators
INVENTOR(S):              Inman, Wayne D.; Liu, Jiwen; Medina, Julio C.; Miao,
                          Shichang; Tang, Hua Lucy
PATENT ASSIGNEE(S):       Tularik Inc., USA
```

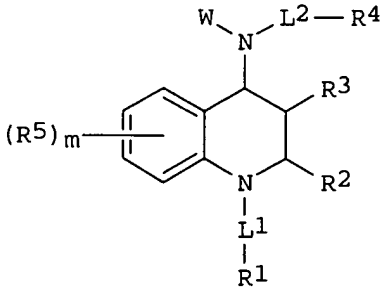
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005007094	A2	20050127	WO 2004-US21735	20040707
WO 2005007094	A3	20050407		

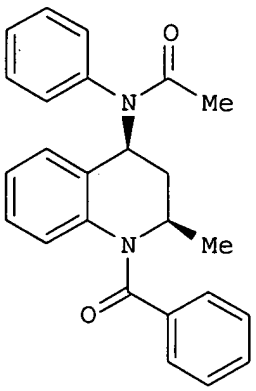
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005038070	A1	20050217	US 2004-887341	20040707
PRIORITY APPLN. INFO.:			US 2003-485978P	P 20030709

OTHER SOURCE(S): MARPAT 142:176711
GI



I



II

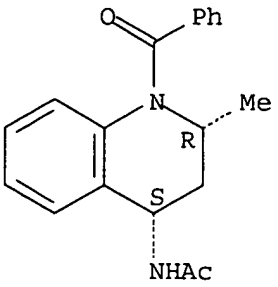
AB Compds., pharmaceutical compns. and methods are provided that are useful in the treatment of inflammatory and immune-related diseases and conditions. In particular, the invention provides compds. which modulate the function and/or expression of proteins involved in atopic diseases, inflammatory conditions and cancer. The subject compds. are tetrahydroquinoline derivs. I [wherein: W = aryl, heteroaryl, (C1-C5)alkyl, or cyclo(C3-C5)alkyl; L1 = CO, SO2, or (C1-C4)alkylene; L2 = single bond, CO, or SO2; R1 = (C1-C5)alkyl, aryl, aryl(C1-C4)alkyl, aryl(C1-C4)alkoxy, aryl(C1-C4)alkenyl, or heteroaryl; R2 and R3 = (independently) H or (C1-C5)alkyl; R4 = (C1-C5)alkyl, aryl(C1-C4)alkyl, cyclo(C3-C5)alkyl(C1-C4)alkyl, hydroxy(C1-C4)alkyl, (C1-C4)alkoxy(C1-C4)alkyl, amino(C1-C4)alkyl, (C1-C4)alkylamino(C1-C4)alkyl, di(C1-C4)alkylamino(C1-C4)alkyl, carboxy(C1-C4)alkyl, (C1-C4)alkoxycarbonyl(C1-C4)alkyl, carbamoyl(C1-C4)alkyl and

carboxy(C2-C4)alkenyl; each R5 = (independently) halo, (C1-C8)alkyl, (C1-C4)alkoxy, thio(C1-C4)alkoxy, amino, (C1-C4)alkylamino, di(C1-C4)alkylamino, halo(C1-C4)alkyl, halo(C1-C4)alkoxy, cyano, nitro, CO2R', CONR'R'', C(O)R', OC(O)R', OC(O)NR'R'', NR''C(O)R', NR''CO2R', N(R')C(O)NR''R''', NR'C(NH2):NR'', S(O)R', -SO2R', -SO2NR'R'', N3, or CH(Ph)2; two adjacent R5 may form a 5-, 6-, 7-, or 8-membered fused ring containing the attached C atoms and 0-2 addnl. N/O/S heteroatoms; R', R'', and R''' = (independently) H, (C1-C5)alkyl, aryl, aryl(C1-C4)alkyl, or heteroaryl; optionally, when R' and R'' or R'' and R''' are attached to the same N atom, then R' and R'' or R'' and R''' may be combined to form a 5-, 6-, 7- or 8-membered ring containing the attachment N atom and 0-2 addnl. N/O/S heteroatoms; m is 0-4; with approx. 56 specific exceptions when claimed per se]. Several synthetic examples are given. For instance, cyclocondensation of aniline with acetaldehyde gave a mixture of cis-2-methyl-4-(phenylamino)-1,2,3,4-tetrahydroquinoline and its trans isomer. This compound underwent a sequence of N-benzoylation with PhCOCl, deprotonation with NaH in THF, and N-acetylation with AcBr, to give invention compound II. This compound had an IC50 of < 0.04 μM in a human CRTH2 binding assay.

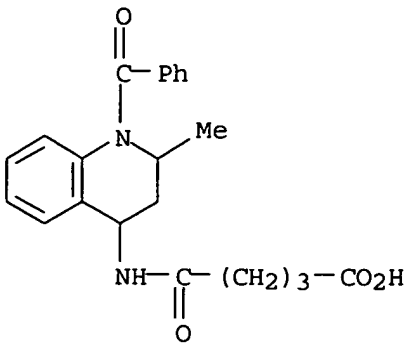
IT **832748-00-2P**, cis-1-Benzoyl-2-methyl-4-(acetylamino)-1,2,3,4-tetrahydroquinoline **832748-03-5P**, 1-Benzoyl-2-methyl-4-[N-(4-carboxybutanoyl)amino]-1,2,3,4-tetrahydroquinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-substituted aminotetrahydroquinolines with CRTH2 and PGD2 receptor activities as asthma and allergic inflammation modulators)

RN 832748-00-2 HCAPLUS
CN Acetamide, N-[(2R,4S)-1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



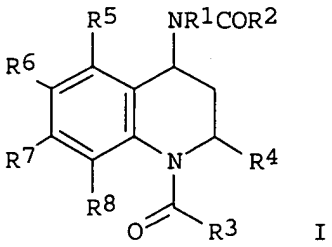
RN 832748-03-5 HCAPLUS
CN Pentanoic acid, 5-[(1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl)amino]-5-oxo- (9CI) (CA INDEX NAME)



L8 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:545711 HCAPLUS
DOCUMENT NUMBER: 141:106384
TITLE: Preparation of acylaminoquinolines as CRTH2 antagonists
INVENTOR(S): Kuhn, Cyrille; Feru, Frederic; Bazin, Marc; Awad, Mohamed; Goldstein, Steven Wayne
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: Eur. Pat. Appl., 77 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1435356	A1	20040707	EP 2003-290025	20030106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			EP 2003-290025	20030106

OTHER SOURCE(S): MARPAT 141:106384
GI



I

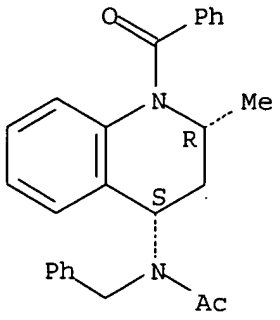
AB Quinolines I [R1 = alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, aralkyl, heteroaralkyl, cycloalkylalkyl; R2 = (un)substituted alkyl; R3 = cycloalkyl, (un)substituted aryl, heterocyclyl, aralkyl, heterocyclylalkyl; R4 = H, alkyl; R5-R8 = H, (un)substituted alkyl, NO2, CN, SO2Me, (un)substituted SO2NH2, OH, SH, CO2H, CONH2, NH2, NHSO2H, NHCHO, acyl] were prepared for use as CRTH2 antagonists with IC50 < 5μM.

Thus, cis-N-(2-methyl-1,2,3,4-tetrahydroquinolin-4-yl)-N-phenylacetamide was prepared from 4- chloroquinoline in 6 steps and was treated with 2-thiophenecarbonyl chloride to give I [R1 = Ph, R2, R4 = Me, R3 = 2-thienyl, R5-R8 = H].

IT **681828-08-0P 681828-09-1P 681828-10-4P 681828-19-3P 681828-47-7P 717871-70-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of acylaminoquinolines as CRTH2 antagonists)

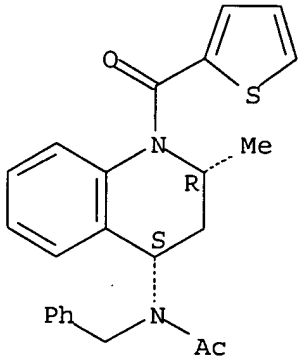
RN 681828-08-0 HCAPLUS
CN Acetamide, N-[(2R,4S)-1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl]-N-(phenylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



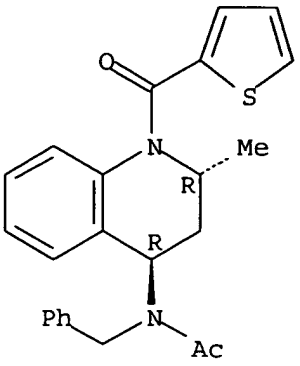
RN 681828-09-1 HCAPLUS
CN Acetamide, N-(phenylmethyl)-N-[(2R,4S)-1,2,3,4-tetrahydro-2-methyl-1-(2-thienylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

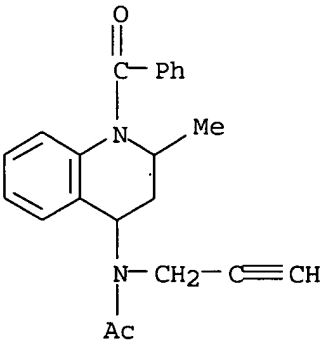


RN 681828-10-4 HCAPLUS
CN Acetamide, N-(phenylmethyl)-N-[(2R,4R)-1,2,3,4-tetrahydro-2-methyl-1-(2-thienylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

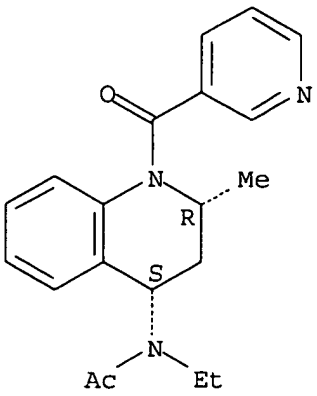


RN 681828-19-3 HCAPLUS
CN Acetamide, N-(1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl)-N-2-propynyl- (9CI) (CA INDEX NAME)



RN 681828-47-7 HCAPLUS
CN Acetamide, N-ethyl-N-[(2R,4S)-1,2,3,4-tetrahydro-2-methyl-1-(3-pyridinylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

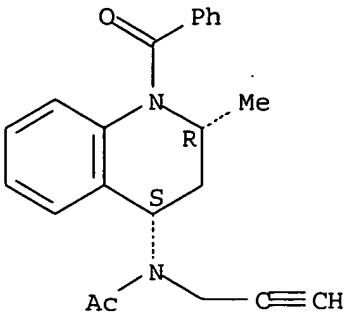
Relative stereochemistry.



RN 717871-70-0 HCAPLUS
CN Acetamide, N-[(2R,4S)-1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl]-

N-2-propynyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

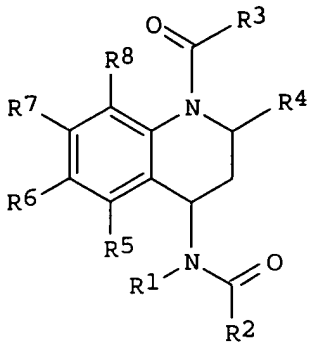
L8 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:354914 HCAPLUS
DOCUMENT NUMBER: 140:357218
TITLE: Preparation of tetrahydroquinoline derivatives as CRTh2 antagonists
INVENTOR(S): Awad, Mohamed Mohamed Ali; Bazin, Marc; Feru, Frederic; Goldstein, Steven Wayne; Kuhn, Cyrille Francois
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035543	A1	20040429	WO 2003-IB4505	20031010
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1413306	A1	20040428	EP 2002-292606	20021021
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CA 2500083	AA	20040429	CA 2003-2500083	20031010
EP 1556356	A1	20050727	EP 2003-751107	20031010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015547	A	20050920	BR 2003-15547	20031010
PRIORITY APPLN. INFO.:			EP 2002-292606 A	20021021
			US 2002-434896P P	20021219

WO 2003-IB4505 W 20031010

OTHER SOURCE(S): MARPAT 140:357218

GI



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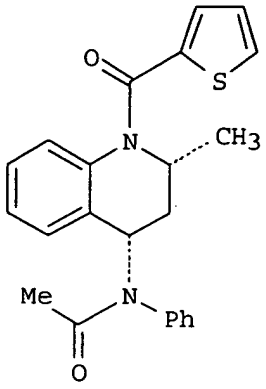


II

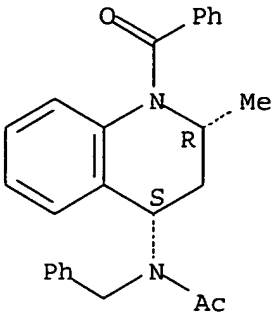
AB Title compds. I [R1 = H, alk(en/yn)yl, etc.; R2 = alkyl; R3 = cycloalkyl, etc.; R4 = H, alkyl; R5-8 = H, alkyl, etc.] are prepared For instance, 2-methyl-4-phenylimino-3,4-dihydro-2H-quinolin-1-carboxylic acid benzyl ester (preparation given) is reduced to the corresponding cis-quinoline (HOAc, NaBH(OAc)3), deprotected (EtOH, NH4O2CH, Pd/C) and the resulting intermediate acylated with 2-thiophencarbonyl chloride (dioxane, i-Pr2NEt, 3 h) to give II. Invention compds., e.g. II, are tested as CRTh2 receptor antagonists, IC50 < 5μM. I are useful for the treatment of inflammatory disorders.

IT **681828-08-0P**, cis-4-(N-Benzyl-N-acetylamino)-1-Benzoyl-2-methyl-1,2,3,4-tetrahydroquinoline **681828-09-1P**, cis-4-[N-Benzyl-N-acetylamino]-2-methyl-1-(thiophene-2-carbonyl)-1,2,3,4-tetrahydroquinoline **681828-10-4P**, trans-4-(N-Benzyl-N-acetylamino)-2-methyl-1-(thiophene-2-carbonyl)-1,2,3,4-tetrahydroquinoline **681828-19-3P**, 4-[N-(Prop-2-ynyl)-N-acetylamino]-1-Benzoyl-2-methyl-1,2,3,4-tetrahydroquinoline **681828-47-7P**, cis-4-[N-Ethyl-N-acetylamino]-2-Methyl-1-(pyridine-3-carbonyl)-1,2,3,4-tetrahydroquinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tetrahydroquinoline derivs. as crth2 antagonists)

RN 681828-08-0 HCAPLUS

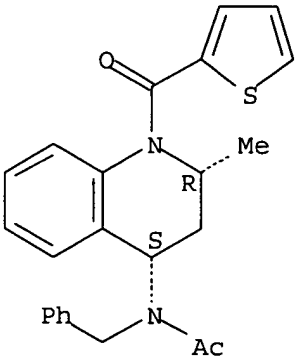
CN Acetamide, N-[(2R,4S)-1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl]-N-(phenylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



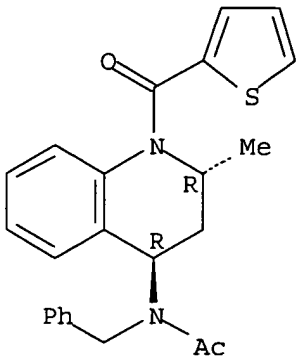
RN 681828-09-1 HCAPLUS
CN Acetamide, N-(phenylmethyl)-N-[(2R,4S)-1,2,3,4-tetrahydro-2-methyl-1-(2-thienylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

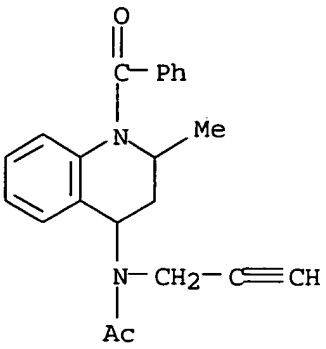


RN 681828-10-4 HCAPLUS
CN Acetamide, N-(phenylmethyl)-N-[(2R,4R)-1,2,3,4-tetrahydro-2-methyl-1-(2-thienylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

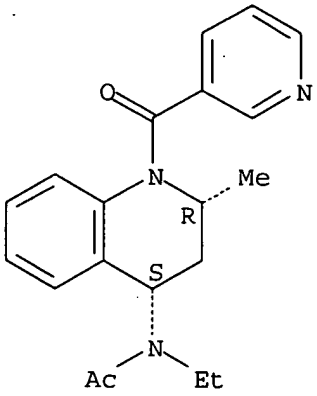


RN 681828-19-3 HCAPLUS
CN Acetamide, N-(1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl)-N-2-propynyl- (9CI) (CA INDEX NAME)



RN 681828-47-7 HCAPLUS
CN Acetamide, N-ethyl-N-[(2R,4S)-1,2,3,4-tetrahydro-2-methyl-1-(3-pyridinylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:347985 HCAPLUS
DOCUMENT NUMBER: 140:375082
TITLE: A preparation of tetrahydroquinoline derivatives as CRTH2 antagonists
INVENTOR(S): Kuhn, Cyrille; Feru, Frederic; Bazin, Marc; Awad, Mohamed; Goldstein, Steven Wayne
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: Eur. Pat. Appl., 63 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1413306	A1	20040428	EP 2002-292606	20021021

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
CA 2500083 AA 20040429 CA 2003-2500083 20031010
WO 2004035543 A1 20040429 WO 2003-IB4505 20031010
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1556356 A1 20050727 EP 2003-751107 20031010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
BR 2003015547 A 20050920 BR 2003-15547 20031010
US 2004132772 A1 20040708 US 2003-688566 20031017
PRIORITY APPLN. INFO.: EP 2002-292606 A 20021021
US 2002-434896P P 20021219
WO 2003-IB4505 W 20031010
OTHER SOURCE(S): MARPAT 140:375082

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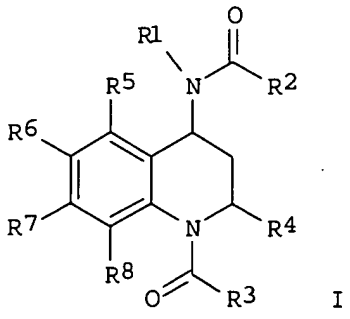

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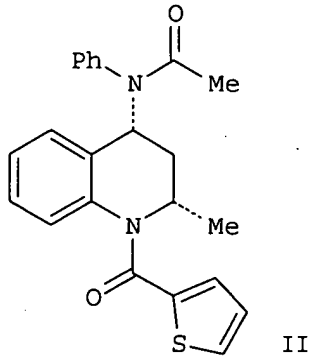

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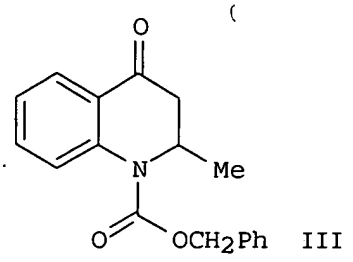

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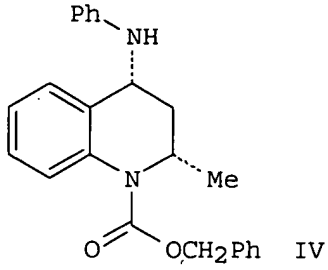


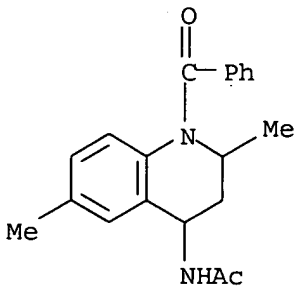
IV

AB The invention relates to a preparation of tetrahydroquinoline derivs. of formula I [wherein: R1 is H, C1-C4 alkyl, or C2-C4 ak(en/yn)yl, etc.; R2 is C1-C4 (un)substituted alkyl; R3 is C3-C6 cycloalkyl or -A-R9; R4 is H or C1-C4 alkyl; R5, R6, R7, and R8 are independently selected from halogen, NO2, CN, SO2Me, or (un)substituted C1-C4 alkyl, etc.; A is a

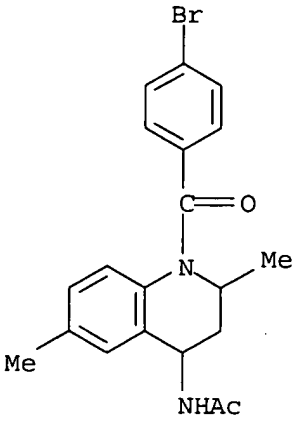
bond, C1-C3 alkylene, or C2-C3 alkenylene; R9 is C6-C12 aryl or heterocycle], their use as medicaments and pharmaceutical compns. containing them. The invention compds. were tested as CRTH2 receptor antagonists (IC50 < 5μM). For instance, tetrahydroquinoline derivative II was prepared from the prepared quinoline III via imination, stereoselective reduction of the imine bond, N-acetylation of the obtained quinoline derivative IV, N-cleavage at the quinoline ring, and subsequent addition of 2-thiophenecarbonyl chloride (example 1).

IT **371958-28-0P 372086-94-7P 372156-31-5P**
681828-08-0P 681828-09-1P 681828-10-4P
681828-19-3P 681828-47-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydroquinoline derivs. as CRTH2 antagonists)

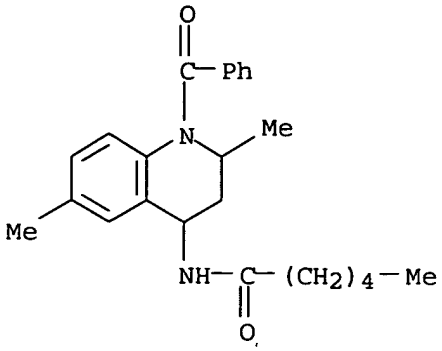
RN 371958-28-0 HCAPLUS
CN Acetamide, N-(1-benzoyl-1,2,3,4-tetrahydro-2,6-dimethyl-4-quinolinyl)- (9CI) (CA INDEX NAME)



RN 372086-94-7 HCAPLUS
CN Acetamide, N-[1-(4-bromobenzoyl)-1,2,3,4-tetrahydro-2,6-dimethyl-4-quinolinyl]- (9CI) (CA INDEX NAME)

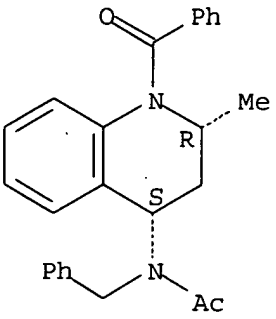


RN 372156-31-5 HCAPLUS
CN Hexanamide, N-(1-benzoyl-1,2,3,4-tetrahydro-2,6-dimethyl-4-quinolinyl)- (9CI) (CA INDEX NAME)



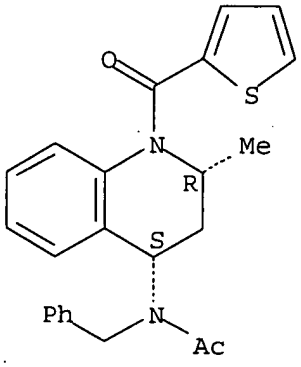
RN 681828-08-0 HCAPLUS
CN Acetamide, N-[(2R,4S)-1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl]-N-(phenylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



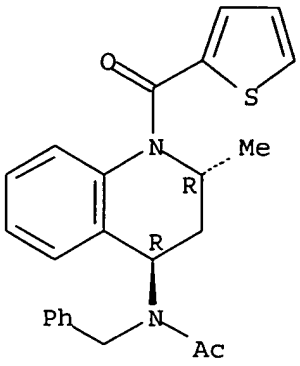
RN 681828-09-1 HCAPLUS
CN Acetamide, N-(phenylmethyl)-N-[(2R,4S)-1,2,3,4-tetrahydro-2-methyl-1-(2-thienylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

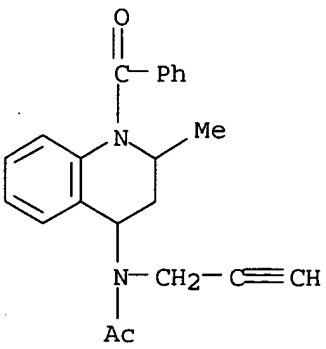


RN 681828-10-4 HCAPLUS
CN Acetamide, N-(phenylmethyl)-N-[(2R,4R)-1,2,3,4-tetrahydro-2-methyl-1-(2-thienylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

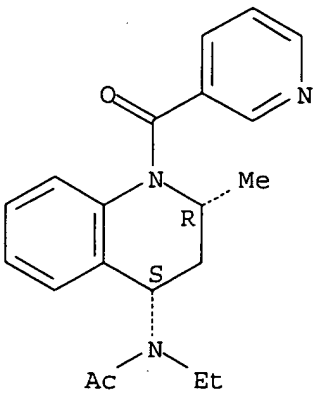


RN 681828-19-3 HCAPLUS
CN Acetamide, N-(1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl)-N-2-propynyl- (9CI) (CA INDEX NAME)



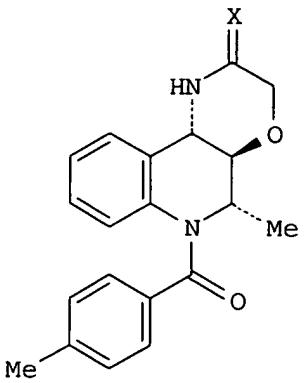
RN 681828-47-7 HCAPLUS
CN Acetamide, N-ethyl-N-[(2R,4S)-1,2,3,4-tetrahydro-2-methyl-1-(3-pyridinylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:577166 HCAPLUS
DOCUMENT NUMBER: 125:300921
TITLE: Synthesis of [1,4]oxazino[2,3-c]quinolines as conformationally constrained tetrahydroquinolines
AUTHOR(S): Hiessboeck, R.; Huber, A.; Kratzel, M.
CORPORATE SOURCE: Institute Pharmaceutical Chemistry, University Vienna, Vienna, A-1090, Austria
SOURCE: Scientia Pharmaceutica (1996), 64(3/4), 445-454
CODEN: SCPHA4; ISSN: 0036-8709
PUBLISHER: Oesterreichische Apotheker-Verlagsgesellschaft
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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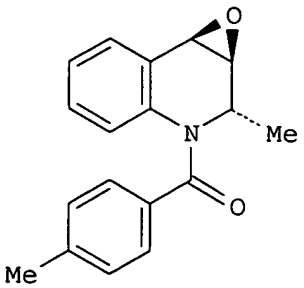
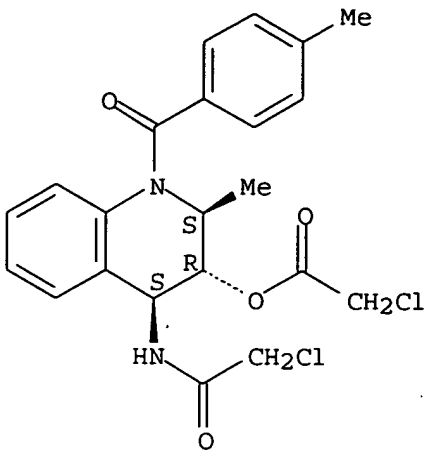


II

AB The synthesis of the oxazine-annulated tetrahydroquinolines I (X = H2, O) which represent 4-N-3-O-substituted 1,2,3,4-tetrahydroquinolines with restricted conformation is reported starting from the epoxyquinoline II. The target mols. can also be seen as conformationally constrained 3-phenylmorpholines and 2-desmethyl cromakalim congeners.

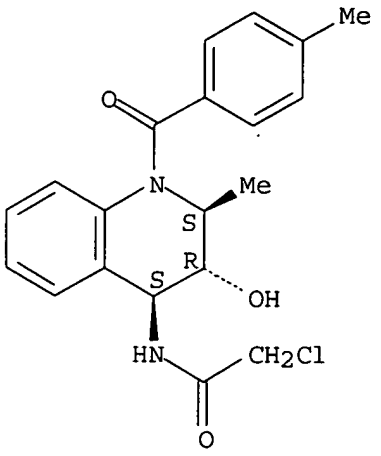
IT **182689-19-6P**
RL: BYP (Byproduct); PREP (Preparation)
(preparation of oxazinoquinolines)
RN 182689-19-6 HCAPLUS
CN Acetic acid, chloro-, 4-[(chloroacetyl)amino]-1,2,3,4-tetrahydro-2-methyl-1-(4-methylbenzoyl)-3-quinolinyl ester, (2α,3β,4α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **182689-18-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazinoquinolines)
RN 182689-18-5 HCAPLUS
CN Acetamide, 2-chloro-N-[1,2,3,4-tetrahydro-3-hydroxy-2-methyl-1-(4-methylbenzoyl)-4-quinolinyl]-, (2α,3β,4α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L8 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:128686 HCAPLUS
DOCUMENT NUMBER: 116:128686
TITLE: Benzoheterocyclic compounds
INVENTOR(S): Ogawa, Hidenori; Miyamoto, Hisashi; Kondo, Kazumi; Yamashita, Hiroshi; Nakaya, Kenji; Komatsu, Hajime; Tanaka, Michinori
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 909 pp.
CODEN: PIXXD2

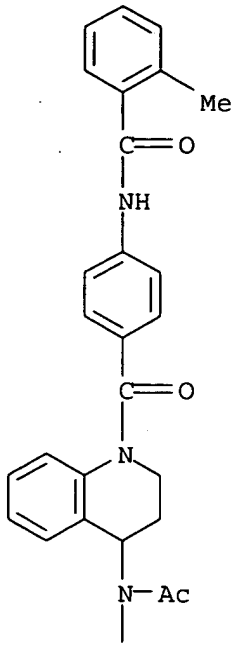
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9105549	A1	19910502	WO 1990-JP1340	19901018
W: KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
EP 450097	A1	19911009	EP 1990-915185	19901018
EP 450097	B1	19960424		
R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
ES 2089033	T3	19961001	ES 1990-915185	19901018
CN 1051038	A	19910501	CN 1990-108449	19901019
CN 1027505	B	19950125		
JP 04154765	A2	19920527	JP 1990-282568	19901019
JP 07076214	B4	19950816		
AU 9172917	A1	19911219	AU 1991-72917	19910314
AU 630284	B2	19921022		
CA 2066104	AA	19921020	CA 1992-2066104	19920415
CA 2066104	C	20030527		
AU 9214984	A1	19921022	AU 1992-14984	19920416
AU 646334	B2	19940217		
EP 514667	A1	19921125	EP 1992-106606	19920416
EP 514667	B1	19950809		
R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
CN 1066653	A	19921202	CN 1992-103409	19920416
CN 1035670	B	19970820		
ES 2078576	T3	19951216	ES 1992-106606	19920416
JP 05132466	A2	19930528	JP 1992-96880	19920417
JP 2916536	B2	19990705		
US 5244898	A	19930914	US 1992-870318	19920417
KR 196485	B1	19990615	KR 1992-6580	19920420
CN 1107146	A	19950823	CN 1994-101827	19940302
CN 1048484	B	20000119		
US 5753677	A	19980519	US 1995-474544	19950607
PRIORITY APPLN. INFO.:			JP 1989-274338 A	19891020
			JP 1990-66063 A	19900315
			JP 1990-105580 A	19900420
			JP 1990-181858 A	19900709
			JP 1991-87994	19910419
			WO 1990-JP1340 W	19901018
			US 1991-762015 B2	19910619
			US 1992-851541 A3	19920313
			US 1993-76804 A3	19930610

OTHER SOURCE(S): MARPAT 116:128686
GI For diagram(s), see printed CA Issue.
AB Title compds. I [X = atoms required to complete a 6-8-membered ring optionally containing other heteroatoms; R = substituted Ph; R1 = H, halogen, alkyl, NH2, substituted NH2, aminoalkoxy, (un)substituted BzO] (.apprx.1000 compds.) were prepared by various methods. Benzazepines II (R2 = NMe2, R3 = 2-MeC6H4; R2 = OH, R3 = 3,5-Cl2C6H3; R2 = H, R3 = 2,3-Me2C6H3) tripled urine excretion in rats at 0.4-4.2 mg/kg i.v.
IT **137983-13-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 137983-13-2 HCAPLUS
CN Benzamide, N-[4-[[4-(acetylmethylamino)-3,4-dihydro-1(2H)-

quinolinyl]carbonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

=> d l10 ibib abs hitstr tot

L10 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:128686 HCAPLUS
DOCUMENT NUMBER: 116:128686
TITLE: Benzoheterocyclic compounds
INVENTOR(S): Ogawa, Hidenori; Miyamoto, Hisashi; Kondo, Kazumi; Yamashita, Hiroshi; Nakaya, Kenji; Komatsu, Hajime; Tanaka, Michinori
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 909 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9105549	A1	19910502	WO 1990-JP1340	19901018 <--

W: KR, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE

EP 450097	A1	19911009	EP 1990-915185	19901018 <--
EP 450097	B1	19960424		
R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
ES 2089033	T3	19961001	ES 1990-915185	19901018 <--
CN 1051038	A	19910501	CN 1990-108449	19901019 <--
CN 1027505	B	19950125		
JP 04154765	A2	19920527	JP 1990-282568	19901019 <--
JP 07076214	B4	19950816		
AU 9172917	A1	19911219	AU 1991-72917	19910314 <--
AU 630284	B2	19921022		
CA 2066104	AA	19921020	CA 1992-2066104	19920415 <--
CA 2066104	C	20030527		
AU 9214984	A1	19921022	AU 1992-14984	19920416 <--
AU 646334	B2	19940217		
EP 514667	A1	19921125	EP 1992-106606	19920416 <--
EP 514667	B1	19950809		
R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
CN 1066653	A	19921202	CN 1992-103409	19920416 <--
CN 1035670	B	19970820		
ES 2078576	T3	19951216	ES 1992-106606	19920416 <--
JP 05132466	A2	19930528	JP 1992-96880	19920417 <--
JP 2916536	B2	19990705		
US 5244898	A	19930914	US 1992-870318	19920417 <--
KR 196485	B1	19990615	KR 1992-6580	19920420 <--
CN 1107146	A	19950823	CN 1994-101827	19940302 <--
CN 1048484	B	20000119		
US 5753677	A	19980519	US 1995-474544	19950607 <--

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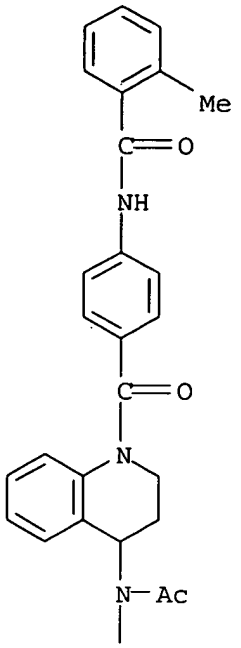
PRIORITY APPLN. INFO.:

JP 1989-274338	A	19891020
JP 1990-66063	A	19900315
JP 1990-105580	A	19900420
JP 1990-181858	A	19900709
JP 1991-87994		19910419
WO 1990-JP1340	W	19901018
US 1991-762015	B2	19910619
US 1992-851541	A3	19920313
US 1993-76804	A3	19930610

OTHER SOURCE(S): MARPAT 116:128686
GI For diagram(s), see printed CA Issue.
AB Title compds. I [X = atoms required to complete a 6-8-membered ring optionally containing other heteroatoms; R = substituted Ph; R1 = H, halogen, alkyl, NH2, substituted NH2, aminoalkoxy, (un)substituted BzO] (.apprx.1000 compds.) were prepared by various methods. Benzazepines II (R2 = NMe2, R3 = 2-MeC6H4; R2 = OH, R3 = 3,5-Cl2C6H3; R2 = H, R3 = 2,3-Me2C6H3) tripled urine excretion in rats at 0.4-4.2 mg/kg i.v.
IT **137983-13-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 137983-13-2 HCAPLUS
CN Benzamide, N-[4-[[4-(acetylmethylamino)-3,4-dihydro-1(2H)-quinolinyl]carbonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

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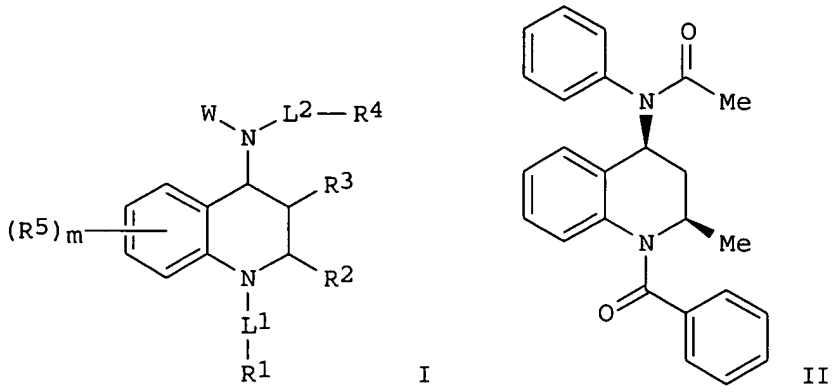
L11 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:76242 HCAPLUS
DOCUMENT NUMBER: 142:176711
TITLE: N-Substituted 4-aminotetrahydroquinolines with CRTH2 and PGD2 receptor activity, and their preparation, pharmaceutical compositions, and use as asthma and allergic inflammation modulators
INVENTOR(S): Inman, Wayne D.; Liu, Jiwen; Medina, Julio C.; Miao, Shichang; Tang, Hua Lucy
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005007094	A2	20050127	WO 2004-US21735	20040707

WO 2005007094 A3 20050407
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2005038070 A1 20050217 US 2004-887341 20040707
PRIORITY APPLN. INFO.: US 2003-485978P P 20030709
OTHER SOURCE(S): MARPAT 142:176711
GI



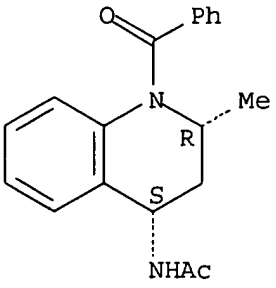
AB Compds., pharmaceutical compns. and methods are provided that are useful in the treatment of inflammatory and immune-related diseases and conditions. In particular, the invention provides compds. which modulate the function and/or expression of proteins involved in atopic diseases, inflammatory conditions and cancer. The subject compds. are tetrahydroquinoline derivs. I [wherein: W = aryl, heteroaryl, (C1-C5)alkyl, or cyclo(C3-C5)alkyl; L1 = CO, SO2, or (C1-C4)alkylene; L2 = single bond, CO, or SO2; R1 = (C1-C5)alkyl, aryl, aryl(C1-C4)alkyl, aryl(C1-C4)alkoxy, aryl(C1-C4)alkenyl, or heteroaryl; R2 and R3 = (independently) H or (C1-C5)alkyl; R4 = (C1-C5)alkyl, aryl(C1-C4)alkyl, cyclo(C3-C5)alkyl(C1-C4)alkyl, hydroxy(C1-C4)alkyl, (C1-C4)alkoxy(C1-C4)alkyl, amino(C1-C4)alkyl, (C1-C4)alkylamino(C1-C4)alkyl, di(C1-C4)alkylamino(C1-C4)alkyl, carboxy(C1-C4)alkyl, (C1-C4)alkoxycarbonyl(C1-C4)alkyl, carbamoyl(C1-C4)alkyl and carboxy(C2-C4)alkenyl; each R5 = (independently) halo, (C1-C8)alkyl, (C1-C4)alkoxy, thio(C1-C4)alkoxy, amino, (C1-C4)alkylamino, di(C1-C4)alkylamino, halo(C1-C4)alkyl, halo(C1-C4)alkoxy, cyano, nitro, CO2R', CONR'R'', C(O)R', OC(O)R', OC(O)NR'R'', NR''C(O)R', NR''CO2R', N(R')C(O)NR''R''', NR'C(NH2):NR'', S(O)R', -SO2R', -SO2NR'R'', N3, or CH(Ph)2; two adjacent R5 may form a 5-, 6-, 7-, or 8-membered fused ring containing the attached C atoms and 0-2 addnl. N/O/S heteroatoms; R', R'', and R''' = (independently) H, (C1-C5)alkyl, aryl, aryl(C1-C4)alkyl, or heteroaryl; optionally, when R' and R'' or R'' and R''' are attached to the same N atom, then R' and R'' or R'' and R''' may be combined to form a

5-, 6-, 7- or 8-membered ring containing the attachment N atom and 0-2 addnl. N/O/S heteroatoms; m is 0-4; with approx. 56 specific exceptions when claimed per se]. Several synthetic examples are given. For instance, cyclocondensation of aniline with acetaldehyde gave a mixture of cis-2-methyl-4-(phenylamino)-1,2,3,4-tetrahydroquinoline and its trans isomer. This compound underwent a sequence of N-benzoylation with PhCOCl, deprotonation with NaH in THF, and N-acetylation with AcBr, to give invention compound II. This compound had an IC50 of < 0.04 μM in a human CRTH2 binding assay.

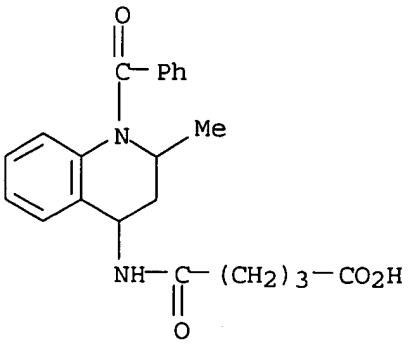
IT **832748-00-2P**, cis-1-Benzoyl-2-methyl-4-(acetylamino)-1,2,3,4-tetrahydroquinoline **832748-03-5P**, 1-Benzoyl-2-methyl-4-[N-(4-carboxybutanoyl)amino]-1,2,3,4-tetrahydroquinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-substituted aminotetrahydroquinolines with CRTH2 and PGD2 receptor activities as asthma and allergic inflammation modulators)

RN 832748-00-2 HCAPLUS
CN Acetamide, N-[(2R,4S)-1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 832748-03-5 HCAPLUS
CN Pentanoic acid, 5-[(1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl)amino]-5-oxo- (9CI) (CA INDEX NAME)



L11 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:545711 HCAPLUS
DOCUMENT NUMBER: 141:106384

TITLE: Preparation of acylaminoquinolines as CRTH2 **antagonists**

INVENTOR(S): Kuhn, Cyrille; Feru, Frederic; Bazin, Marc; Awad, Mohamed; Goldstein, Steven Wayne

PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA

SOURCE: Eur. Pat. Appl., 77 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1435356	A1	20040707	EP 2003-290025	20030106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			EP 2003-290025	20030106

OTHER SOURCE(S): MARPAT 141:106384

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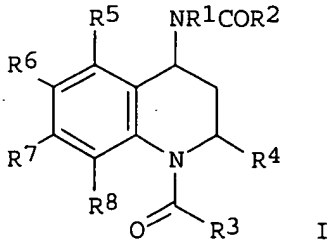


I

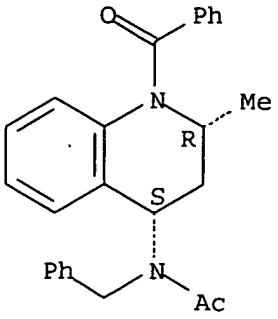
AB Quinolines I [R1 = alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, aralkyl, heteroaralkyl, cycloalkylalkyl; R2 = (un)substituted alkyl; R3 = cycloalkyl, (un)substituted aryl, heterocyclyl, aralkyl, heterocyclylalkyl; R4 = H, alkyl; R5-R8 = H, (un)substituted alkyl, NO2, CN, SO2Me, (un)substituted SO2NH2, OH, SH, CO2H, CONH2, NH2, NHSO2H, NHCHO, acyl] were prepared for use as CRTH2 **antagonists** with IC50 < 5μM. Thus, cis-N-(2-methyl-1,2,3,4-tetrahydroquinolin-4-yl)-N-phenylacetamide was prepared from 4- chloroquinoline in 6 steps and was treated with 2-thiophenecarbonyl chloride to give I [R1 = Ph, R2, R4 = Me, R3 = 2-thienyl, R5-R8 = H].

IT **681828-08-0P 681828-09-1P 681828-10-4P 681828-19-3P 681828-47-7P 717871-70-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of acylaminoquinolines as CRTH2 **antagonists**)

RN 681828-08-0 HCAPLUS

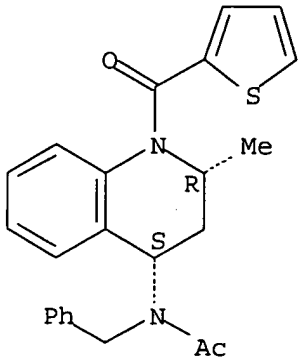
CN Acetamide, N-[(2R,4S)-1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl]-N-(phenylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



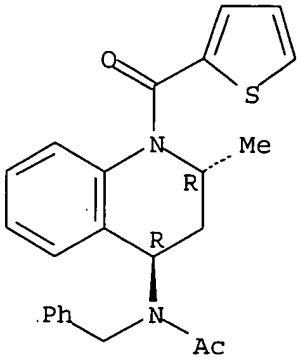
RN 681828-09-1 HCAPLUS
CN Acetamide, N-(phenylmethyl)-N-[(2R,4S)-1,2,3,4-tetrahydro-2-methyl-1-(2-thienylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

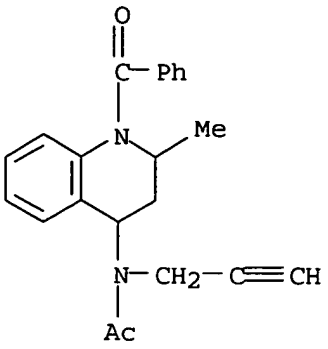


RN 681828-10-4 HCAPLUS
CN Acetamide, N-(phenylmethyl)-N-[(2R,4R)-1,2,3,4-tetrahydro-2-methyl-1-(2-thienylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

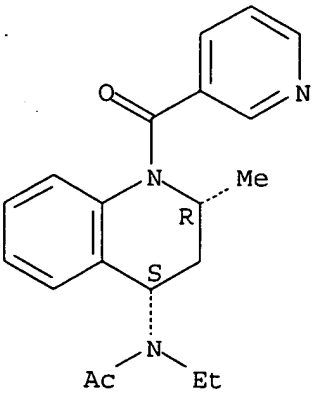


RN 681828-19-3 HCAPLUS
CN Acetamide, N-(1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl)-N-2-propynyl- (9CI) (CA INDEX NAME)



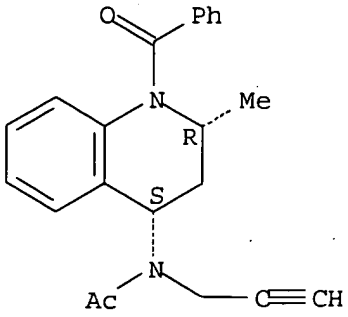
RN 681828-47-7 HCAPLUS
CN Acetamide, N-ethyl-N-[(2R,4S)-1,2,3,4-tetrahydro-2-methyl-1-(3-pyridinylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 717871-70-0 HCAPLUS
CN Acetamide, N-[(2R,4S)-1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl]-N-2-propynyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:354914 HCAPLUS
DOCUMENT NUMBER: 140:357218
TITLE: Preparation of tetrahydroquinoline derivatives as CRTh2 antagonists
INVENTOR(S): Awad, Mohamed Mohamed Ali; Bazin, Marc; Feru, Frederic; Goldstein, Steven Wayne; Kuhn, Cyrille Francois
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035543	A1	20040429	WO 2003-IB4505	20031010
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1413306	A1	20040428	EP 2002-292606	20021021
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EP 1556356	A1	20050727	EP 2003-751107	20031010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015547	A	20050920	BR 2003-15547	20031010
PRIORITY APPLN. INFO.:			EP 2002-292606 A	20021021
			US 2002-434896P P	20021219
			WO 2003-IB4505 W	20031010

OTHER SOURCE(S): MARPAT 140:357218
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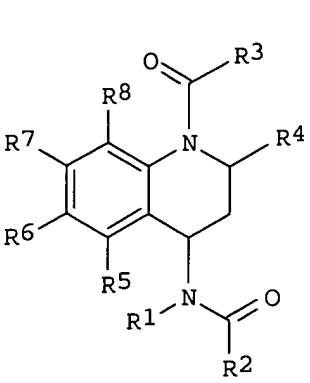

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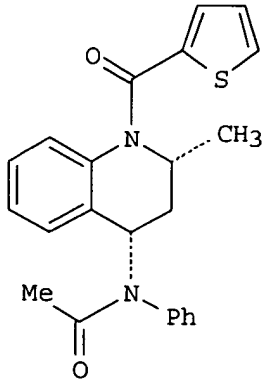


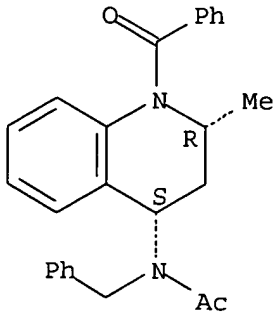
II

AB Title compds. I [R1 = H, alk(en/yn)yl, etc.; R2 = alkyl; R3 = cycloalkyl, etc.; R4 = H, alkyl; R5-8 = H, alkyl, etc.] are prepared For instance, 2-methyl-4-phenylimino-3,4-dihydro-2H-quinolin-1-carboxylic acid benzyl ester (preparation given) is reduced to the corresponding cis-quinoline (HOAc, NaBH(OAc)3), deprotected (EtOH, NH4O2CH, Pd/C) and the resulting intermediate acylated with 2-thiophencarbonyl chloride (dioxane, i-Pr2NEt, 3 h) to give II. Invention compds., e.g. II, are tested as CRTh2 receptor **antagonists**, IC50 < 5μM. I are useful for the treatment of inflammatory disorders.

IT **681828-08-0P**, cis-4-(N-Benzyl-N-acetylamino)-1-Benzoyl-2-methyl-1,2,3,4-tetrahydroquinoline **681828-09-1P**, cis-4-[N-Benzyl-N-acetylamino]-2-methyl-1-(thiophene-2-carbonyl)-1,2,3,4-tetrahydroquinoline **681828-10-4P**, trans-4-(N-Benzyl-N-acetylamino)-2-methyl-1-(thiophene-2-carbonyl)-1,2,3,4-tetrahydroquinoline **681828-19-3P**, 4-[N-(Prop-2-ynyl)-N-acetylamino]-1-Benzoyl-2-methyl-1,2,3,4-tetrahydroquinoline **681828-47-7P**, cis-4-[N-Ethyl-N-acetylamino]-2-Methyl-1-(pyridine-3-carbonyl)-1,2,3,4-tetrahydroquinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(tetrahydroquinoline derivs. as crth2 **antagonists**)

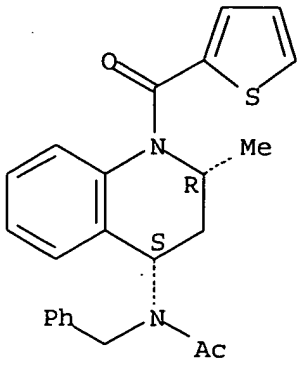
RN 681828-08-0 HCAPLUS
CN Acetamide, N-[(2R,4S)-1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl]-N-(phenylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



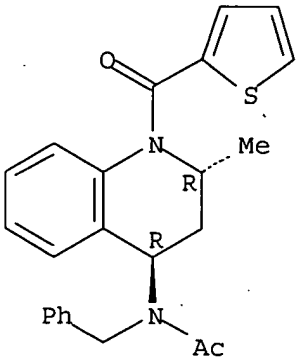
RN 681828-09-1 HCAPLUS
CN Acetamide, N-(phenylmethyl)-N-[(2R,4S)-1,2,3,4-tetrahydro-2-methyl-1-(2-thienylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

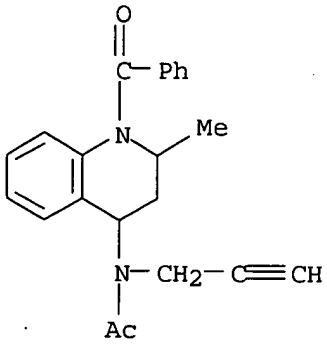


RN 681828-10-4 HCAPLUS
CN Acetamide, N-(phenylmethyl)-N-[(2R,4R)-1,2,3,4-tetrahydro-2-methyl-1-(2-thienylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

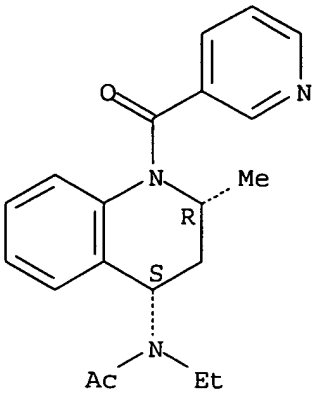


RN 681828-19-3 HCAPLUS
CN Acetamide, N-(1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl)-N-2-propynyl- (9CI) (CA INDEX NAME)



RN 681828-47-7 HCAPLUS
CN Acetamide, N-ethyl-N-[(2R,4S)-1,2,3,4-tetrahydro-2-methyl-1-(3-pyridinylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

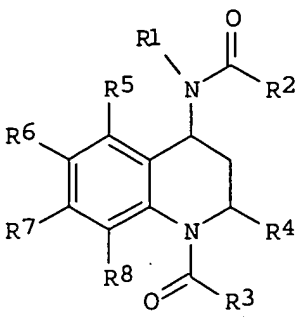
L11 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:347985 HCAPLUS
DOCUMENT NUMBER: 140:375082
TITLE: A preparation of tetrahydroquinoline derivatives as CRTH2 **antagonists**
INVENTOR(S): Kuhn, Cyrille; Feru, Frederic; Bazin, Marc; Awad, Mohamed; Goldstein, Steven Wayne
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: Eur. Pat. Appl., 63 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1413306	A1	20040428	EP 2002-292606	20021021
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CA 2500083	AA	20040429	CA 2003-2500083	20031010
WO 2004035543	A1	20040429	WO 2003-IB4505	20031010
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1556356	A1	20050727	EP 2003-751107	20031010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015547	A	20050920	BR 2003-15547	20031010
US 2004132772	A1	20040708	US 2003-688566	20031017

PRIORITY APPLN. INFO.: EP 2002-292606 A 20021021
US 2002-434896P P 20021219
WO 2003-IB4505 W 20031010

OTHER SOURCE(S): MARPAT 140:375082

GI



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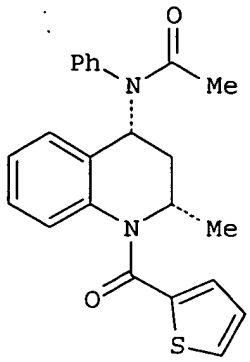

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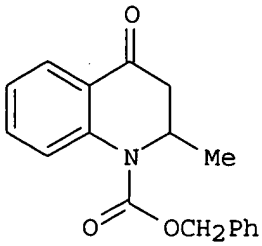

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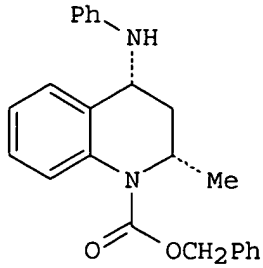


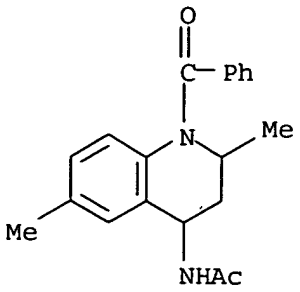
IV

AB The invention relates to a preparation of tetrahydroquinoline derivs. of formula I [wherein: R1 is H, C1-C4 alkyl, or C2-C4 ak(en/yn)yl, etc.; R2 is C1-C4 (un)substituted alkyl; R3 is C3-C6 cycloalkyl or -A-R9; R4 is H or C1-C4 alkyl; R5, R6, R7, and R8 are independently selected from halogen, NO2, CN, SO2Me, or (un)substituted C1-C4 alkyl, etc.; A is a bond, C1-C3 alkylene, or C2-C3 alkenylene; R9 is C6-C12 aryl or heterocycle], their use as medicaments and pharmaceutical compns. containing them. The invention compds. were tested as CRTH2 receptor **antagonists** (IC50 < 5μM). For instance, tetrahydroquinoline derivative II was prepared from the prepared quinoline III via imination, stereoselective reduction of the imine bond, N-acetylation of the obtained quinoline derivative IV, N-cleavage at the quinoline ring, and subsequent addition of 2-thiophenecarbonyl chloride (example 1).

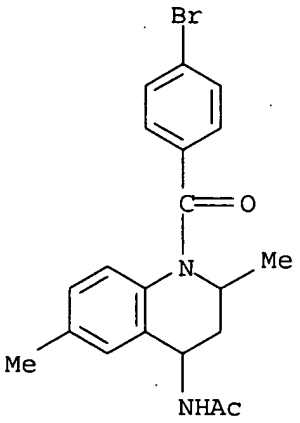
IT **371958-28-0P 372086-94-7P 372156-31-5P**
681828-08-0P 681828-09-1P 681828-10-4P
681828-19-3P 681828-47-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydroquinoline derivs. as CRTH2 **antagonists**)

RN 371958-28-0 HCAPLUS

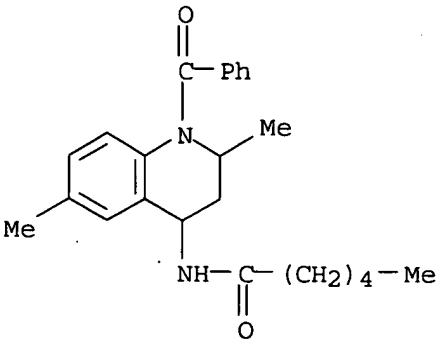
CN Acetamide, N-(1-benzoyl-1,2,3,4-tetrahydro-2,6-dimethyl-4-quinolinyl)-(9CI) (CA INDEX NAME)



RN 372086-94-7 HCAPLUS
CN Acetamide, N-[1-(4-bromobenzoyl)-1,2,3,4-tetrahydro-2,6-dimethyl-4-quinolinyl]- (9CI) (CA INDEX NAME)

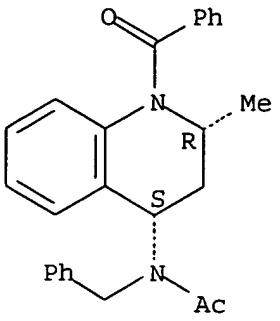


RN 372156-31-5 HCAPLUS
CN Hexanamide, N-(1-benzoyl-1,2,3,4-tetrahydro-2,6-dimethyl-4-quinolinyl)- (9CI) (CA INDEX NAME)



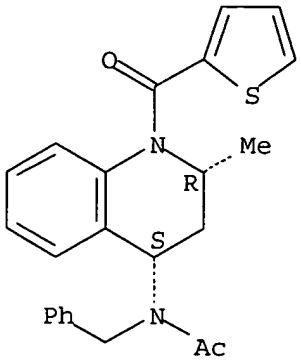
RN 681828-08-0 HCAPLUS
CN Acetamide, N-[(2R,4S)-1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl]-N-(phenylmethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



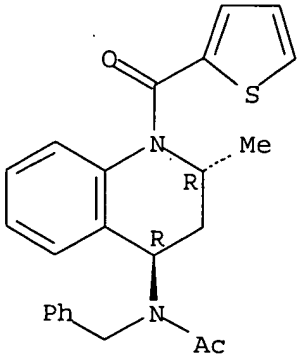
RN 681828-09-1 HCAPLUS
CN Acetamide, N-(phenylmethyl)-N-[(2R,4S)-1,2,3,4-tetrahydro-2-methyl-1-(2-thienylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

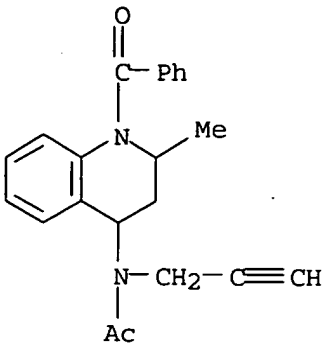


RN 681828-10-4 HCAPLUS
CN Acetamide, N-(phenylmethyl)-N-[(2R,4R)-1,2,3,4-tetrahydro-2-methyl-1-(2-thienylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

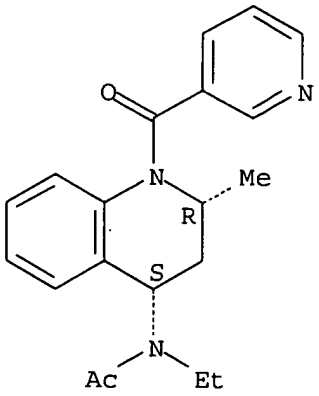


RN 681828-19-3 HCAPLUS
CN Acetamide, N-(1-benzoyl-1,2,3,4-tetrahydro-2-methyl-4-quinolinyl)-N-2-propynyl- (9CI) (CA INDEX NAME)



RN 681828-47-7 HCAPLUS
CN Acetamide, N-ethyl-N-[(2R,4S)-1,2,3,4-tetrahydro-2-methyl-1-(3-pyridinylcarbonyl)-4-quinolinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:128686 HCAPLUS
DOCUMENT NUMBER: 116:128686
TITLE: Benzoheterocyclic compounds
INVENTOR(S): Ogawa, Hidenori; Miyamoto, Hisashi; Kondo, Kazumi; Yamashita, Hiroshi; Nakaya, Kenji; Komatsu, Hajime; Tanaka, Michinori
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 909 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9105549	A1	19910502	WO 1990-JP1340	19901018

	W: KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
EP 450097		A1	19911009	EP 1990-915185	19901018
EP 450097		B1	19960424		
	R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
ES 2089033		T3	19961001	ES 1990-915185	19901018
CN 1051038		A	19910501	CN 1990-108449	19901019
CN 1027505		B	19950125		
JP 04154765		A2	19920527	JP 1990-282568	19901019
JP 07076214		B4	19950816		
AU 9172917		A1	19911219	AU 1991-72917	19910314
AU 630284		B2	19921022		
CA 2066104		AA	19921020	CA 1992-2066104	19920415
CA 2066104		C	20030527		
AU 9214984		A1	19921022	AU 1992-14984	19920416
AU 646334		B2	19940217		
EP 514667		A1	19921125	EP 1992-106606	19920416
EP 514667		B1	19950809		
	R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
CN 1066653		A	19921202	CN 1992-103409	19920416
CN 1035670		B	19970820		
ES 2078576		T3	19951216	ES 1992-106606	19920416
JP 05132466		A2	19930528	JP 1992-96880	19920417
JP 2916536		B2	19990705		
US 5244898		A	19930914	US 1992-870318	19920417
KR 196485		B1	19990615	KR 1992-6580	19920420
CN 1107146		A	19950823	CN 1994-101827	19940302
CN 1048484		B	20000119		
US 5753677		A	19980519	US 1995-474544	19950607
PRIORITY APPLN. INFO.:				JP 1989-274338	A 19891020
				JP 1990-66063	A 19900315
				JP 1990-105580	A 19900420
				JP 1990-181858	A 19900709
				JP 1991-87994	19910419
				WO 1990-JP1340	W 19901018
				US 1991-762015	B2 19910619
				US 1992-851541	A3 19920313
				US 1993-76804	A3 19930610

OTHER SOURCE(S): MARPAT 116:128686

GI For diagram(s), see printed CA Issue.

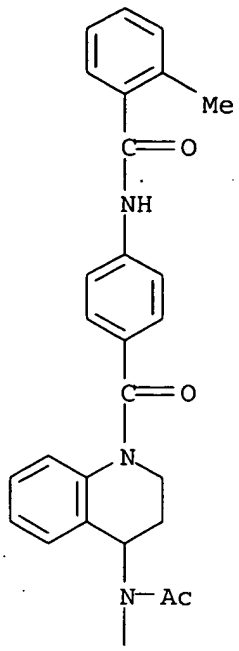
AB Title compds. I [X = atoms required to complete a 6-8-membered ring optionally containing other heteroatoms; R = substituted Ph; R1 = H, halogen, alkyl, NH2, substituted NH2, aminoalkoxy, (un)substituted BzO] (.apprx.1000 compds.) were prepared by various methods. Benzazepines II (R2 = NMe2, R3 = 2-MeC6H4; R2 = OH, R3 = 3,5-Cl2C6H3; R2 = H, R3 = 2,3-Me2C6H3) tripled urine excretion in rats at 0.4-4.2 mg/kg i.v.

IT **137983-13-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 137983-13-2 HCAPLUS

CN Benzamide, N-[4-[[4-(acetylmethylamino)-3,4-dihydro-1(2H)-quinolinyl]carbonyl]phenyl]-2-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

=> d l9 ibib abs tot

L9 ANSWER 1 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:777386 HCAPLUS
DOCUMENT NUMBER: 139:296967
TITLE: Pharmaceutical compositions of cholesteryl ester transfer protein inhibitors
INVENTOR(S): Crew, Marshall D.; Curatolo, William J.; Friesen, Dwayne T.; Gumkowski, Michael Jon; Lorenz, Douglas A.; Nightingale, James A. S.; Ruggeri, Roger B.; Shanker, Ravi M.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 88 pp., Cont.-in-part of U.S. Pat. Appl. 2002 103,225.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 2003186952 A1 20031002 US 2002-66091 20020201
US 2002103225 A1 20020801 US 2001-918127 20010730 <--
CA 2474447 AA 20030807 CA 2003-2474447 20030128
WO 2003063832 A1 20030807 WO 2003-IB310 20030128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
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BR 2003007277 A 20041026 BR 2003-7277 20030128
EP 1469831 A1 20041027 EP 2003-700432 20030128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2005523895 T2 20050811 JP 2003-563526 20030128
PRIORITY APPLN. INFO.: US 2000-223279P P 20000803
US 2001-918127 A2 20010730
US 2002-66091 A 20020201
WO 2003-IB310 W 20030128

OTHER SOURCE(S): MARPAT 139:296967

AB A method for preparing a solid amorphous dispersion of a cholesteryl ester transfer protein (CETP) inhibitor and a concentration-enhancing polymer, e.g., a cellulose derivative or polyvinylpyrrolidone, is described. For example, an amorphous solid dispersion containing (by weight) 10% of a poorly water-soluble CETP inhibitor, (2R,4R)4-[[3,5-bis(trifluoromethyl)benzyl]methoxycarbonylamino]-2-cyclopropyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid iso-Pr ester (I) and 90% cellulosic ester polymer HPMCAS, was prepared by spray drying of a solution comprising 0.053 weight% I, 0.477 weight% HPMCAS, and 99.47 weight% acetone. The in vitro dissoln. tests show that the performance of the spray-dried dispersion was much better than that of the crystalline drug alone used as control.

L9 ANSWER 2 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:4772 HCAPLUS
DOCUMENT NUMBER: 138:78443
TITLE: Pharmaceutical compositions comprising concentration-enhancing polymers
INVENTOR(S): Curatolo, Wlliam John; Friesen, Dwayne Thomas
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 106 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1269994	A2	20030102	EP 2002-253951	20020606
EP 1269994	A3	20030212		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

CA 2391078	AA	20021222	CA 2002-2391078	20020620 <--
US 2003072801	A1	20030417	US 2002-176462	20020620
JP 2003026607	A2	20030129	JP 2002-181314	20020621
BR 2002002375	A	20030401	BR 2002-2375	20020624
PRIORITY APPLN. INFO.:			US 2001-300314P P	20010622

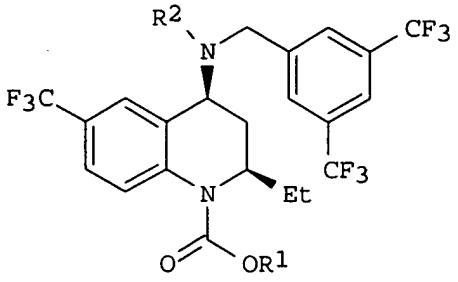
AB A solubility-improved drug (e.g., ziprasidone) form is combined with a concentration-enhancing polymer in a sufficient amount so that the combination provides substantially enhanced drug concentration in a use environment relative to a control comprising the same amount of the same drug form without the concentration-enhancing polymer. A pharmaceutical composition comprising danazol, a surface modifier (PVP), a concentration-enhancing polymer is manufactured by the following steps. Danazol is added to a solution of PVP and water. The solution is rolled for about a week to create a homogeneous mixture This mixture is then milled in a mill-grinding chamber with silica glass spheres. Milling will continue until the average particle size is <400 nm. A concentration-enhancing polymer (HPMC) is added to the milled mixture in an amount effective to achieve concentration enhancement.

L9 ANSWER 3 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:849592 HCAPLUS
DOCUMENT NUMBER: 137:352904
TITLE: Methods for preparing 4-amino-1,2,3,4-tetrahydroquinoline-2-carboxylates
INVENTOR(S): Damon, David Burns; Dugger, Robert Wayne; Scott, Robert William
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088085	A2	20021107	WO 2002-IB1214	20020408 <--
WO 2002088085	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2445623	AA	20021107	CA 2002-2445623	20020408 <--
EP 1425270	A2	20040609	EP 2002-722567	20020408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1505609	A	20040616	CN 2002-809166	20020408
BR 2002009291	A	20040713	BR 2002-9291	20020408
CN 1529696	A	20040915	CN 2002-809144	20020408

JP 2004531541	T2	20041014	JP 2002-585387		20020408
RU 2259355	C2	20050827	RU 2003-131870		20020408
US 2002177716	A1	20021128	US 2002-137314		20020430 <--
US 6689897	B2	20040210			
US 2003073843	A1	20030417	US 2002-136758		20020430
US 6600045	B2	20030729			
US 2003216576	A1	20031120	US 2003-418821		20030418
US 6706881	B2	20040316			
ZA 2003006600	A	20040825	ZA 2003-6600		20030825
ZA 2003006599	A	20041022	ZA 2003-6599		20030825
PRIORITY APPLN. INFO.:			US 2001-287522P	P	20010430
			WO 2002-IB1214	W	20020408
			US 2002-136758	A3	20020430

OTHER SOURCE(S): CASREACT 137:352904; MARPAT 137:352904
GI



I

AB This invention relates to methods for preparing certain cholesteryl ester transfer protein (CETP) inhibitors I [wherein R1= Et, R2 = MeOCO; or R1 = i-Pr, R2 = Ac] and intermediates related thereto. For example, (3R)-3-(4-trifluoromethylphenylamino)pentanenitrile was hydrolyzed using aqueous H2SO4 in toluene to give the amide (75%), which was reacted with Me chloroformate in the presence of t-BuOLi in diisopropyl ether to afford the carbamate (94%). Diastereoselective cyclization in EtOH using NaBH4 as the reducing agent and MgCl2•6H2O as the Lewis activator produced (2R,4S)-(2-ethyl-6-trifluoromethyl-1,2,3,4-tetrahydroquinolin-4-yl)carbamic acid Me ester (80%). Acylation with Et chloroformate in CH2Cl2 to give the tetrahydroquinoline-1-carboxylate (88%), followed by N-alkylation with 3,5-bis(trifluoromethyl)benzyl bromide in the presence of t-BuOK in CH2Cl2 afforded I [R1 = Et, R2 = MeOCO] in 73% yield.

L9 ANSWER 4 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:122773 HCAPLUS
DOCUMENT NUMBER: 136:189343
TITLE: Pharmaceutical compositions of cholesteryl ester transfer protein inhibitors
INVENTOR(S): Curatolo, William John; Friesen, Dwayne Thomas; Gumkowski, Michael Jon; Lorenz, Douglas Alan; Nightingale, James Alan Schriver; Ruggeri, Roger Benjamin; Shanker, Ravi Mysore
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 213 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002011710	A2	20020214	WO 2001-IB1391	20010731 <--
WO 2002011710	A3	20020502		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2417755	AA	20020214	CA 2001-2417755	20010731 <--
AU 2002029142	A5	20020218	AU 2002-29142	20010731 <--
EP 1305007	A2	20030502	EP 2001-984472	20010731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012828	A	20030701	BR 2001-12828	20010731
JP 2004505911	T2	20040226	JP 2002-517047	20010731
NZ 523680	A	20040924	NZ 2001-523680	20010731
EE 200300052	A	20041215	EE 2003-52	20010731
BG 107456	A	20030930	BG 2003-107456	20030113
NO 2003000506	A	20030131	NO 2003-506	20030131
ZA 2003000869	A	20040416	ZA 2003-869	20030131
PRIORITY APPLN. INFO.:			US 2000-223279P P	20000803
			WO 2001-IB1391 W	20010731

OTHER SOURCE(S): MARPAT 136:189343

AB A pharmaceutical composition comprises a solid amorphous dispersion of a cholesteryl ester transfer protein inhibitor and a concentration-enhancing polymer. An amorphous solid dispersion was prepared from (2R,4R)-4-[(3,5-bistrifluoromethylbenzyl)methoxycarbonylamino]-2-cyclopropyl-6-trifluoromethyl-3,4-dihydro-2H-quinoline-1-carboxylic acid iso-Pr ester and hydroxypropyl Me cellulose acetate succinate.

L9 ANSWER 5 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:210122 HCAPLUS
DOCUMENT NUMBER: 132:236999
TITLE: Preparation of 4-amino-substituted 2-substituted 1,2,3,4-tetrahydroquinolines as CEPT inhibitors
INVENTOR(S): Deninno, Michael Paul; Magnus Aryitey, George Tetteh; Ruggeri, Roger Benjamin; Wester, Ronald Thure
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

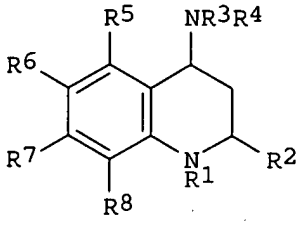
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000017165	A1	20000330	WO 1999-IB1534	19990910 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,				

IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6140343	A	20001031	US 1999-391313	19990907 <--
CA 2344248	AA	20000330	CA 1999-2344248	19990910 <--
AU 9954403	A1	20000410	AU 1999-54403	19990910 <--
AU 747715	B2	20020523		
EP 1114032	A1	20010711	EP 1999-940426	19990910 <--
EP 1114032	B1	20040602		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200100780	T2	20010723	TR 2001-200100780	19990910 <--
BR 9913855	A	20010724	BR 1999-13855	19990910 <--
EE 200100167	A	20020617	EE 2001-167	19990910 <--
TW 502022	B	20020911	TW 1999-88115688	19990910 <--
AT 268324	E	20040615	AT 1999-940426	19990910
CN 1515259	A	20040728	CN 2004-10004959	19990910
JP 3561474	B2	20040902	JP 2000-574075	19990910
PT 1114032	T	20040930	PT 1999-940426	19990910
ES 2221420	T3	20041216	ES 1999-940426	19990910
US 6489478	B1	20021203	US 2000-671221	20000927 <--
ZA 2001001745	A	20020502	ZA 2001-1745	20010301 <--
NO 2001001349	A	20010514	NO 2001-1349	20010316 <--
HR 2001000200	A1	20020430	HR 2001-200	20010316 <--
BG 105429	A	20011231	BG 2001-105429	20010410 <--

PRIORITY APPLN. INFO.:	US 1998-100927P	P	19980917
	US 1999-391313	A3	19990907
	WO 1999-IB1534	W	19990910

OTHER SOURCE(S): MARPAT 132:236999
GI



I

AB The title compds. I [R1 = Y, WX, WY and W = CO, CS, sulfinyl, sulfonyl and X = OY, SY, NHY, NY2 and Y = carbon chain which may be heteroatom replaced; R2 = carbon chain which may be heteroatom replaced; R3 = H, Q and Q = carbon chain which may be heteroatom replaced; R4 = cyano, CHO, etc.; R5-R8 = H, bond, nitro, halo], cholesteryl ester transfer protein inhibitors, were prepared E.g., Et cis-4-(benzylformylamino)-6,7-dimethoxy-2-methyl-3,4-dihydro-2H-quinoline-1-carboxylate was prepared
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

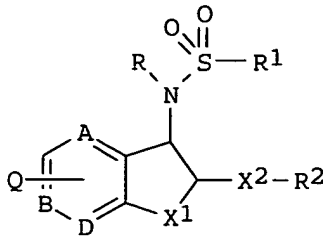
L9 ANSWER 6 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:161121 HCAPLUS

DOCUMENT NUMBER: 132:207763
TITLE: Preparation of benzopyran, tetrahydroquinoline, pyrano[2,3-b]pyridine, and indan derivatives as potassium channel inhibitors
INVENTOR(S): Lloyd, John; Finlay, Heather J.; Vaccaro, Wayne; Atwal, Karnail; Gross, Michael F.; Spear, Kerry L.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012077	A1	20000309	WO 1999-US18599	19990816 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2341678	AA	20000309	CA 1999-2341678	19990816 <--
AU 9956753	A1	20000321	AU 1999-56753	19990816 <--
AU 754204	B2	20021107		
EP 1109544	A1	20010627	EP 1999-943714	19990816 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002523451	T2	20020730	JP 2000-567195	19990816 <--
US 6150356	A	20001121	US 1999-375955	19990817 <--
US 6511977	B1	20030128	US 2000-670285	20000925
US 2004058931	A1	20040325	US 2002-295574	20021115
US 2004067944	A1	20040408	US 2002-295404	20021115
US 6784189	B2	20040831		
US 2004192710	A1	20040930	US 2004-823987	20040414
US 6881753	B2	20050419		

PRIORITY APPLN. INFO.:	US 1998-98709P	P	19980901
	WO 1999-US18599	W	19990816
	US 1999-375955	A3	19990817
	US 2000-670285	A3	20000925
	US 2002-295404	A3	20021115

OTHER SOURCE(S): MARPAT 132:207763
GI



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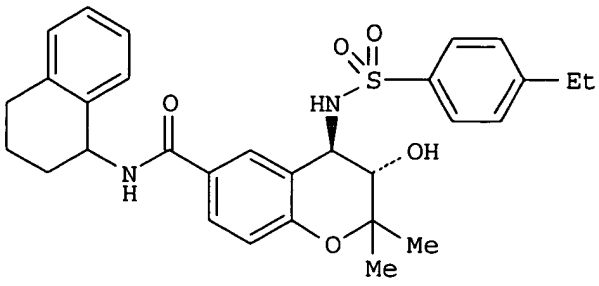


II

AB The title compds. (I) [wherein A, B, and D = independently CH or N; R = H, (aryl)alkyl, alkenyl, aryl, (hetero)cycloalkyl, or cycloalkylalkyl; R1 = (aryl)alkyl, aryl, alkenyl, heterocyclo, NR5-heterocyclo, (hetero)cycloalkyl, cycloalkylalkyl, or (un)substituted amino; or R and R1 taken together with the N-S atoms = a 5- to 8-membered ring; R2 = H, (aryl)alkyl, acyl, carboxymethyl, carbamoylmethyl, etc.; R3 and R4 = independently = H, (aryl)alkyl, cycloalkyl, or R3 and R4 taken together with the C to which they are attached form a 5- to 8-membered ring; R5 = H, (aryl)alkyl, alkenyl, aryl, or cycloalkyl(alkyl); X1 = (CR3R4)n, O, NR5, S, S(O), SO2, -OCR3R4-, -NR5CR3R4-, -SCR3R4-, -S(O)CR3R4-, or -SO2CR3R4-; n = 1-3; X2 = single bond, NR5, or O; Q = substituted NHCH:NCN, acyl, (un)substituted sulfamoyl, or substituted heterocyclo] were prepd by solution phase or solid phase synthesis as antiarrhythmics. For example, II was formed in a 3-step sequence involving: (1) sulfonylation of (trans)-4-amino-3,4-dihydro-2,2-dimethyl-6-cyano-2H-benzopyran with 4-ethylbenzenesulfonyl chloride (85%), (2) hydrolysis of the nitrile to the carboxylic acid using aqueous Na2O2 (33%), and (3) amidation with 1,2,3,4-tetrahydro-1-naphthylamine (51%). I block the delayed rectifier voltage-gated K+ channel (IKur) and are therefore useful in the prevention and treatment of cardiac arrhythmia (no data).

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:577166 HCAPLUS

DOCUMENT NUMBER: 125:300921

TITLE: Synthesis of [1,4]oxazino[2,3-c]quinolines as conformationally constrained tetrahydroquinolines

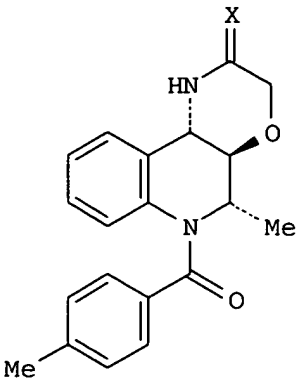
AUTHOR(S): Hiessboeck, R.; Huber, A.; Kratzel, M.

CORPORATE SOURCE: Institute Pharmaceutical Chemistry, University Vienna, Vienna, A-1090, Austria

SOURCE: Scientia Pharmaceutica (**1996**), 64(3/4), 445-454
CODEN: SCPHA4; ISSN: 0036-8709

PUBLISHER: Oesterreichische Apotheker-Verlagsgesellschaft

DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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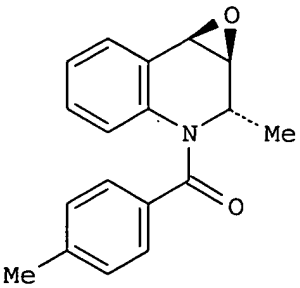

II

AB The synthesis of the oxazine-annulated tetrahydroquinolines I (X = H2, O) which represent 4-N-3-O-substituted 1,2,3,4-tetrahydroquinolines with restricted conformation is reported starting from the epoxyquinoline II. The target mols. can also be seen as conformationally constrained 3-phenylmorpholines and 2-desmethyl cromakalim congeners.

L9 ANSWER 8 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:648150 HCAPLUS
DOCUMENT NUMBER: 123:55716
TITLE: Indane and quinoline derivatives
INVENTOR(S): Atwal, Karnail
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: U.S., 11 pp. Cont.-in-part of U.S. Ser. No. 618,357, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5401848	A	19950328	US 1991-776921	19911015 <--
ZA 9108539	A	19920826	ZA 1991-8539	19911025 <--
CA 2054637	AA	19920527	CA 1991-2054637	19911031 <--
AU 9188040	A1	19920528	AU 1991-88040	19911121 <--
AU 637535	B2	19930527		
JP 04352753	A2	19921207	JP 1991-306038	19911121 <--
FI 9105530	A	19920527	FI 1991-5530	19911125 <--
NO 9104602	A	19920527	NO 1991-4602	19911125 <--
NO 176095	B	19941024		
NO 176095	C	19950201		
HU 60467	A2	19920928	HU 1991-3664	19911125 <--
HU 209470	B	19940628		
RU 2058980	C1	19960427	RU 1991-5010280	19911125 <--
CN 1061961	A	19920617	CN 1991-111172	19911126 <--

PL 166983 B1 19950731 PL 1991-292536 19911126 <--
PRIORITY APPLN. INFO.: US 1990-618357 B2 19901126
OTHER SOURCE(S): MARPAT 123:55716
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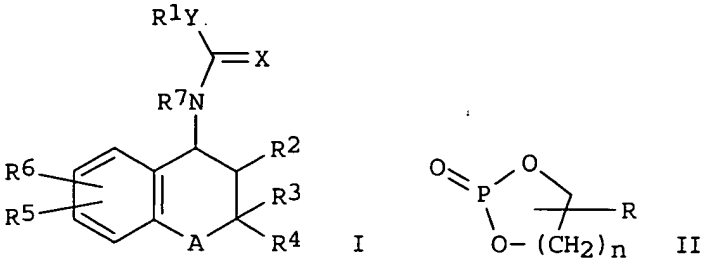


I II

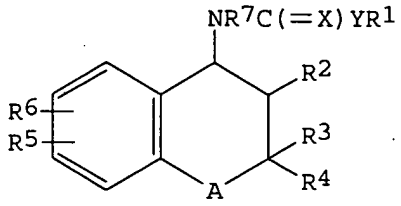
AB Novel antiischemic (no data) indan and quinoline derivs. I [wherein X is NCN; and A is a single bond or NR9 wherein R9 is alkyl of 1-4 carbons; Y is NR8 ; R1 is aryl or arylalkyl; R2 is hydrogen, hydroxy, or OAc; R3 and R4 are each independently hydrogen, alkyl or arylalkyl; R5 is hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, arylalkyl, cycloalkylalkyl, CN, NO2, COR, COOR, CONHR, CONR2, CF3, S-alkyl, SOalkyl, SO2 alkyl, P(O)(O-alkyl)2, II, halogen, OCF3, OCH2 CF3, wherein R in each of the above groups is hydrogen, alkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl or haloalkyl; R6 is hydrogen, alkyl, halo, OH, O-alkyl, amino and substituted amino, as defined hereinbelow, O-alkyl, OCOalkyl, OCONRalkyl, NRCOalkyl, and NRCOOalkyl, NRCONR2 wherein R in each of the above groups is hydrogen, alkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl or haloalkyl; R7 and R8 are each independently hydrogen, alkyl, or arylalkyl; or R1 and R8, or R1 and R7 or R7 and R8 taken together can form a 5- to 7-membered ring, which may further include an aryl group fused to 2 carbon atoms of such 5- to 7-membered ring; n is 1, 2 or 3; and, R10 is hydrogen, hydroxy, alkyl or O-alkyl]. Thus, e.g., alkylation (AlCl3) of benzene with mesityl oxide afforded 4-methyl-4-phenyl-2-pentanone; oxidation of the latter (NaOH/Br2) afforded 3-methyl-3-phenylbutanoic acid which was cyclized (PCl5/AlCl3) to 3,3-dimethyl-1-indanone; nitration (HNO3/urea) afforded 1,1-dimethyl-5-nitro-3-indanone which was reduced (KBH4) to 1,1-dimethyl-5-nitro-indan-3-ol and subsequently dehydrated (p-toluenesulfonic acid/benzene) to 1,1-dimethyl-5-nitro-2-indene; epoxidn. (m-chloroperbenzoic acid) to 1,1-dimethyl-2,3-epoxy-5-nitro-indane was followed by ring opening (NH4OH) to (trans)-3-amino-1,1-dimethyl-2-hydroxy-5-nitroindane; reaction of the latter with N-cyano-N'-phenylthiourea afforded title compound (trans)-N"-cyano-N-(2-hydroxy-3,3-dimethyl-6-nitro-1-indanyl)-N'-phenylguanidine [trans-I (R2 = OH, R3 = R4 = Me; A = single bond; R5 = 6-nitro, R6 = H; X = NCN; YR1 = NHPh, R7 = H)].

L9 ANSWER 9 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:489978 HCAPLUS
DOCUMENT NUMBER: 117:89978
TITLE: Indanyl- and quinolylureas and related compounds as cardiovascular agents
INVENTOR(S): Atwal, Karnail
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA

SOURCE: Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 488616	A1	19920603	EP 1991-310811	19911125 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9108539	A	19920826	ZA 1991-8539	19911025 <--
CA 2054637	AA	19920527	CA 1991-2054637	19911031 <--
AU 9188040	A1	19920528	AU 1991-88040	19911121 <--
AU 637535	B2	19930527		
JP 04352753	A2	19921207	JP 1991-306038	19911121 <--
FI 9105530	A	19920527	FI 1991-5530	19911125 <--
NO 9104602	A	19920527	NO 1991-4602	19911125 <--
NO 176095	B	19941024		
NO 176095	C	19950201		
HU 60467	A2	19920928	HU 1991-3664	19911125 <--
HU 209470	B	19940628		
RU 2058980	C1	19960427	RU 1991-5010280	19911125 <--
CN 1061961	A	19920617	CN 1991-111172	19911126 <--
PL 166983	B1	19950731	PL 1991-292536	19911126 <--

PRIORITY APPLN. INFO.: US 1990-618357 A 19901126
OTHER SOURCE(S): MARPAT 117:89978
GI



I

AB Title compds. I [X = O, S, NCN; A = bond when X = O, S; when X = NCN, then A = bond, CH2, NR9, S, SO, SO2; R9 = H, C1-4 alkyl; Y = NR8, O, S, CHR10; R1 = aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl; R2 = H, OH, OAc; R3, R4 = H, alkyl, aralkyl; R3R4 = atoms to complete a 5-7 membered carbocyclic ring; R5 = H, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, cycloalkylalkyl, cyano, NO2, COR, CO2R, CONHR, CONR2, CF3; etc.; R = H, alkyl, aryl, aralkyl, cycloalkyl, (cycloalkyl)alkyl, haloalkyl; R6 = H, alkyl, halo, OH, etc.; R7, R8 = H, alkyl, aralkyl; or R1R8 or R1R7 or R7R8 = atoms to form a (fused) 5-7 membered ring; R10 = H, OH, alkyl, alkoxy] were prepared as cardiovascular agents useful for the treatment of ischemia, for example (no data). Thus, trans-3-amino-1,1-dimethyl-2-hydroxy-5-nitroindan (preparation in 8 steps from mesityl oxide given) was refluxed in EtOH and Ph isocyanate was added. The mixture was refluxed 3 h to give trans-N-(2-hydroxy-3,3-dimethyl-6-nitro-1-indanyl)-N'-phenylurea.

L9 ANSWER 10 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:128686 HCAPLUS
DOCUMENT NUMBER: 116:128686
TITLE: Benzoheterocyclic compounds

INVENTOR(S): Ogawa, Hidenori; Miyamoto, Hisashi; Kondo, Kazumi; Yamashita, Hiroshi; Nakaya, Kenji; Komatsu, Hajime; Tanaka, Michinori
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 909 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

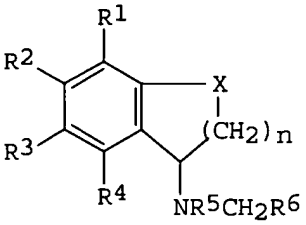
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9105549	A1	19910502	WO 1990-JP1340	19901018 <--
W: KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
EP 450097	A1	19911009	EP 1990-915185	19901018 <--
EP 450097	B1	19960424		
R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
ES 2089033	T3	19961001	ES 1990-915185	19901018 <--
CN 1051038	A	19910501	CN 1990-108449	19901019 <--
CN 1027505	B	19950125		
JP 04154765	A2	19920527	JP 1990-282568	19901019 <--
JP 07076214	B4	19950816		
AU 9172917	A1	19911219	AU 1991-72917	19910314 <--
AU 630284	B2	19921022		
CA 2066104	AA	19921020	CA 1992-2066104	19920415 <--
CA 2066104	C	20030527		
AU 9214984	A1	19921022	AU 1992-14984	19920416 <--
AU 646334	B2	19940217		
EP 514667	A1	19921125	EP 1992-106606	19920416 <--
EP 514667	B1	19950809		
R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
CN 1066653	A	19921202	CN 1992-103409	19920416 <--
CN 1035670	B	19970820		
ES 2078576	T3	19951216	ES 1992-106606	19920416 <--
JP 05132466	A2	19930528	JP 1992-96880	19920417 <--
JP 2916536	B2	19990705		
US 5244898	A	19930914	US 1992-870318	19920417 <--
KR 196485	B1	19990615	KR 1992-6580	19920420 <--
CN 1107146	A	19950823	CN 1994-101827	19940302 <--
CN 1048484	B	20000119		
US 5753677	A	19980519	US 1995-474544	19950607 <--

PRIORITY APPLN. INFO.:		
JP 1989-274338	A	19891020
JP 1990-66063	A	19900315
JP 1990-105580	A	19900420
JP 1990-181858	A	19900709
JP 1991-87994		19910419
WO 1990-JP1340	W	19901018
US 1991-762015	B2	19910619
US 1992-851541	A3	19920313
US 1993-76804	A3	19930610

OTHER SOURCE(S): MARPAT 116:128686
GI For diagram(s), see printed CA Issue.
AB Title compds. I [X = atoms required to complete a 6-8-membered ring optionally containing other heteroatoms; R = substituted Ph; R1 = H, halogen, alkyl, NH2, substituted NH2, aminoalkoxy, (un)substituted BzO] (.apprx.1000 compds.) were prepared by various methods. Benzazepines II (R2 = NMe2, R3 = 2-MeC6H4; R2 = OH, R3 = 3,5-Cl2C6H3; R2 = H, R3 =

2,3-Me2C6H3) tripled urine excretion in rats at 0.4-4.2 mg/kg i.v.

L9 ANSWER 11 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1987:156830 HCAPLUS
DOCUMENT NUMBER: 106:156830
TITLE: Synthesis and angiotensin converting enzyme inhibitory activity of N-benzocycloalkylglycine derivatives
AUTHOR(S): Miyake, Akio; Itoh, Katsumi; Inada, Yoshiyuki; Nishikawa, Kohei; Oka, Yoshikazu
CORPORATE SOURCE: Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
SOURCE: Takeda Kenkyushoho (**1985**), 44(3/4), 171-85
CODEN: TAKHAA; ISSN: 0371-5167
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI



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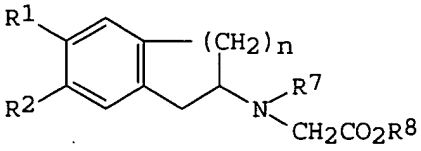


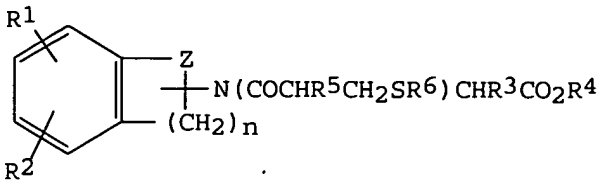
II

AB N-Benzocycloalkylglycine and -alanine derivs. and N-benzocycloalkyl-N-(3-mercaptopropanoyl)glycine and -alanine derivs., I (R1 = H, OMe, or Cl; R2 = H, OH, OMe, OCH2Ph, or Cl; R3 = H, OMe, Me, Me2CH, Cl, or OCH2Ph; R4 = H, OMe, or Cl; R5 = H or PhCH2; R6 = CO2H or Ph; X = CH2, O, or NAc; and n = 1-2), II (R1 = H or OMe; R2 = H or OMe; R7 = H or CO2HMeCH2SAc; R8 = H or Et; n = 1-2), and HO2CCH2NR1CO2HR2CH2SR3 (R1 = tetralinyl, indanyl, etc.; R2 = H, Me, CH2SCOCH3; R3 = H, COPh, COMe) were prepared by the acylation of a variety of N-benzocycloalkyl-glycines and -alanines. Almost all of the prepared derivs. showed potent inhibitory activity to angiotensin converting enzyme (ACE); the ACE inhibitory activity of the alanine derivs. was lower than that of the corresponding glycine derivs.

L9 ANSWER 12 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:88965 HCAPLUS
DOCUMENT NUMBER: 104:88965
TITLE: Chromanyl glycines
INVENTOR(S): Oka, Yoshikazu; Nishikawa, Kohei; Miyake, Akio
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd. , Japan
SOURCE: U.S., 15 pp. Division of U.S. Ser. No. 238,821, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4521607	A	19850604	US 1982-365038	19820402 <--
PRIORITY APPLN. INFO.:			US 1981-238821	A3 19810227

OTHER SOURCE(S): CASREACT 104:88965
GI



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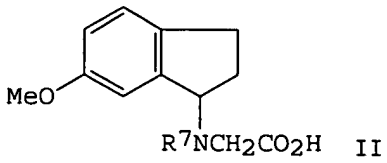

II

AB Amino acid derivs. I [R1, R2 = H, halo, alkyl, OH, alkoxy, aralkoxy, or R1R2 = alkylenedioxy; R3, R4 = H, alkyl; R5 = H, alkyl, CH2SH, (alkanoylthio)methyl, (benzoylthio)methyl; R6 = H, alkanoyl PhCO, or R5R6 complete a 1,2-dithiolane ring; Z = 0; n = 2, 3, 4] were prepared as antihypertensives due to their ability to inhibit angiotensin-converting enzyme. I (Z = CH2) were also prepared Thus, N-indanylglycine derivative II (R7 = H) was acylated with AcSCH2CHMeCOCl in AcNMe2 to give II (R7 = AcSCH2CHMeCO).

L9 ANSWER 13 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1982:104758 HCAPLUS
DOCUMENT NUMBER: 96:104758
TITLE: Bicyclic compounds and their use
INVENTOR(S): Oka, Yoshikazu; Nishikawa, Kohei; Miyake, Akio
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd. , Japan
SOURCE: Eur. Pat. Appl., 57 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
EP 35868	A1	19810916	EP 1981-300901		19810304	<--
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE						
JP 56125357	A2	19811001	JP 1980-29502		19800307	<--
JP 57106655	A2	19820702	JP 1980-183350		19801223	<--
CA 1168233	A1	19840529	CA 1981-372474		19810306	<--
PRIORITY APPLN. INFO.:			JP 1980-29502	A	19800307	
			JP 1980-183350	A	19801223	

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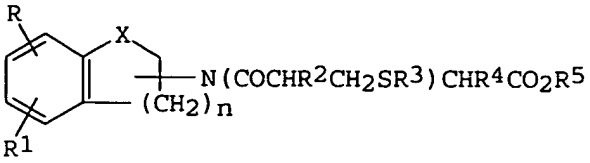

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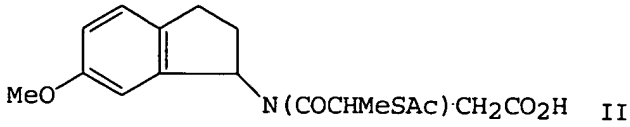

II

AB Title compds. I (R, R1 = H, halogen, alkyl, alkoxy, aralkyloxy; RR1 = alkylenedioxy; R2 = H, alkyl, optionally substituted CH2SH; R3 = H, alkanoyl, PhCO; R2R3 = bond; R4, R5 = H, alkyl; X = CH2, O, optionally substituted NH; n = 1-3) were prepared Thus, N-(6-methoxy-1-indanyl)glycine was stirred with AcSCH2CHMeCOCl for 2 h at room temperature to give II which inhibited angiotensin I induced hypertension in rats by 96% after 20 min. at 13.8 μM/kg, orally.

L9 ANSWER 14 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1974:403048 HCAPLUS
DOCUMENT NUMBER: 81:3048
TITLE: Bimolecular alkylidene arylamines. XII. Catalysis as the disproportionation of homolyzation energy
AUTHOR(S): Zalukaev, L. P.; Savvinova, V. M.
CORPORATE SOURCE: Voronezh. Gos. Univ., Voronezh, USSR
SOURCE: Zhurnal Obshchei Khimii (**1974**), 44(3), 675-7
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Hydrolysis of I (R = Br, R1 = PhNAc) by alkali in aqueous dioxane was faster than that of I (R = H, R1 = PhNAc); I (R = Br, R1 = H) was hydrolyzed only with difficulty. These rates were correlated with internal energy transfer in the substrate mols.

L9 ANSWER 15 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1968:427206 HCAPLUS
DOCUMENT NUMBER: 69:27206
TITLE: Intramolecular donor-acceptor interaction in 2-7ethyl-4-anilino-1,2,3,4-tetrahydroquinoline and its derivatives
AUTHOR(S): Zalukaev, L. P.; Spitsyna, L. Ya.
CORPORATE SOURCE: Voronezhsk, Univ., Voronezh, USSR
SOURCE: Trudy Problemnoi Laboratorii Khimii Vysokomolekulyarnykh Soedinenii, Voronezhskii Gosudarstvennyi Universitet (**1966**), No. 4, 5-16
CODEN: TPLKAR; ISSN: 0372-0764
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB The activity of the title compds. (I) in chemical reactions is due to the donor-acceptor relation between the aniline and the tetrahydroquinoline groups. The theory was justified by acylation, halogenation, and

hydrolysis of several derivs. of I. Thus, 2 g. I (R1 = R2 = Ac, X1 = X2 = X4 = H, X3 = Br) was refluxed 10 hrs. in 12% alc. KOH and diluted with water to give 56% I (R1 = Ac, R2 = X1 = X2 = X4 = H, X3 = Br), m. 119° (EtOH). I (6 g.) (R1 = Ac, R2 = X1 = X2 = H, X3 = X4 = Br) remained unchanged after refluxing in 20% alc. KOH for 50 hrs. Cl was passed through a solution of 6 g. I (R1 = R2 = Ac, X1 = X2 = X3 = X4 = H) in 100 ml. CCl4 for 1 hr. Next day the mixture was treated with NaHCO3 to give 40% I (R1 = R2 = Ac, X1 = X2 = X4 = H, X3 = Cl), m. 171° (EtOH). This was boiled 14 hrs. in 22% alc. KOH to give 1 g. I (R1 = Ac, R2 = X1 = X2 = X4 = H, X3 = Cl); R2 = Bz derivative m. 210°. To a mixture of 3 g. I (R1 = R2 = Ac, X1 = X2 = X3 = X4 = H), 10 ml. concentrated H2SO4, and 3 ml. AcOH at 0-5° was added a mixture of 4 ml. concentrated HNO3 and 4 ml. 70% HNO3. After 3 hrs. the solution was diluted with water and NaHCO3 to precipitate 1.3 g. I (R1 = R2 = Ac, X1 = X2 = X4 = H, X3 = NO2), m. 173° (EtOH). The previous experiment was repeated with the reaction mixture kept overnight to give I (R1 = R2 = Ac, X1 = X4 = H, X2 = X3 = NO2), m. 234-5°. A mixture of 4 g. I (X1 = X3 = X4 = R1 = H, X2 = Br, R2 = Bz) in 100 ml. CHCl3 and 2 g. Br was allowed to stand 3 hrs. and treated with NaHCO3 and EtOH to give 2.64 g. I (R2 = Bz, R1 = X3 = X4 = H, X1 = X2 = Br), m. 239° (EtOH). This (1.4 g.) was refluxed 10 hrs. in 15% alc. KOH to give 0.55 g. I (X1 = X2 = Br, R1 = R2 = X3 = X4 = H), m. 140°, and 0.45 g. of this was kept overnight with 10 ml. AcOH, then boiled 4 hrs. to give 0.42 g. I (X1 = X2 = Br, X3 = X4 = H, R1 = R2 = Ac), m. 163°. I (R2 = X1 = X3 = X4 = H, X2 = Br, R2 = Bz) (4 g.) refluxed 15 hrs. in 250 ml. 25% H2SO4 and subsequently 5 hrs. in Ac2O gave a mixture of I (R1 = R2 = Ac, X1 = X2 = Br, X3 = X4 = H) and I (R1 = R2 = Ac, X1 = X2 = X3 = X4 = H). I (R1 = R2 = Bz, X1 = X2 = X4 = H, X3 = Br) (5 g.) treated similarly 10 hrs. gave a mixture of deacylated products, but if treated first with KOH then with 50% H2SO4 it gave 2-methyl-6-bromoquinoline, m. 98°; picrate m. 217°.

L9 ANSWER 16 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1967:453250 HCAPLUS
DOCUMENT NUMBER: 67:53250
TITLE: Bimolecular alkylidenearylamines. XI. New data on intermolecular donor-acceptor reactions in 4-anilino-2-methyl-1,2,3,4-tetrahydroquinolines
AUTHOR(S): Zalukaev, L. P.; Spitsyna, L. Ya.
CORPORATE SOURCE: Voronezhsk. Gos. Univ., Voronezh, USSR
SOURCE: Zhurnal Organicheskoi Khimii (**1967**), 3(4), 753-6
CODEN: ZORKAE; ISSN: 0514-7492
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB cf. CA 65: 15179f. A series of the title compds. (I) was prepared Unusual chemical behavior of some I, as instability of strong alkali to remove Ac group from I (X1 = X2 = X4 = H, X3 = Br, R1 = Ac, R2 = H), was discussed in terms of electron intermol. interactions, called p,p-electron interactions, which promoted homolytic, rather than heterolytic chemical attack. A solution of I (X1 = X2 = X3 = X4 = H, R1 = R2 = Ac) (II), m. 187°, which was prepared earlier Elektron. Khim. Kardiol, 1, 189(1964); 2, 89(1965); 3, 117(1966)] in 100 ml. CCl4 was saturated with HCl gas to give 40% I (X1 = X2 = X4 = H, X3 = Cl, R1 = R2 = Ac) (III), m. 171°. Boiling III 14 hrs. with 22% alc. NaOH solution gave 45% I (X1 = X2 = X4 = H, X3 = Cl, R1 = Ac, R2 = H) (IV), m. 179°. Action of Ac2O on IV gave III and BzCl gave I (X1 = X2 = X4 = H, X3 = Cl, R1 = Ac,

R2 = Bz) (V), m. 210°. Similarly, chlorination of I (X1 = X2 = X3 = X4 = H, R1 = Ac, R2 = Bz) with HCl gas gave V proving attachment of Ac group to anilino N in IV. Nitration of 3 g. II in 10 ml. H2SO4 3 ml. AcOH solution at 4-5° by a slow addition of 4 ml. H2SO4 and 4 ml. 70% HNO3, followed by keeping 4 hrs. at room temperature gave 38% I (X1 = X2 = X4 = H, X3 = NO2, R1 = R2 = Ac) (VI), m. 173° (alc.). Hydrolysis of VI according to Zalukaev (CA 59: 9973b) gave 6-nitroquinaldine, m. 172°, and PhNH2. Longer nitration time of II (overnight standing) gave I (X1 = X4, X2 = X3 = NO2, R1 = R2 = Ac), m. 234-5° (alc.), which on acid hydrolysis gave 2-methyl-6-nitroquinoline, m. 172°, and p-O2NC6H4NH2, m. 147°. Attempted deacylation of known I (X1 = X2 = H, X3 = X4 = Br, R1 = Ac, R2 = H) (VII), m. 186°, by boiling 50 hrs. in 20% alc. NaOH gave only VII.

L9 ANSWER 17 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1966:481601 HCAPLUS
DOCUMENT NUMBER: 65:81601
ORIGINAL REFERENCE NO.: 65:15179e-g
TITLE: Bimolecular alkylidene aryl amines. X. Intramolecular donor-acceptor interaction in 2-methyl-4-anilino-1,2,3,4-tetra- hydroquinoline
AUTHOR(S): Zalukaev, L. P.; Spitsyna, L. Ya.
CORPORATE SOURCE: State Univ., Voronezh
SOURCE: Zhurnal Obshchei Khimii (**1966**), 36(6), 1052-5
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB cf. CA 62, 3908c. 1-Benzoyl-2-methyl-4-(4-bromoanilino)-1,2,3,4-tetrahydroquinoline (I), m. 220°, and Br in CHCl3 gave in 3 hrs. 56% 2,4-dibromoanilino analog, m. 239°, which heated 10 hrs. with alc. KOH gave 63.5% product, m. 140°, which with Ac2O overnight gave 75% N-acetyl-2-methyl-4-(2,4-dibromoacetylanilino)-1,2,3,4-tetrahydroquinoline (II), m. 163°. I heated on a steam bath with 25% alc. KOH 15 hrs. and the product treated 5 hrs. with Ac2O gave II and the analogous α-isomer, m. 186-7°, of the diacetyl derivative Alc. KOH and N-acetyl-2-methyl-4- (acetylanilino)-6-bromo-1,2,3,4-tetrahydroquinoline in 10 hrs. heating gave 56% 2-methyl-4-(acetylanilino)-6-bromo-1,2,3,4-tetrahydroquinoline, m. 199°, which was unchanged in 60 hrs. heating with EtONa-EtOH and gave a monobenzoyl derivative, m. 219°. The results confirm the existence of intramol. complexes with charge transfer among tetrahydroquinoline derivs. involving one electron. Since bromination gave only the 6-bromo derivative, without any 4- or 4,6-dibromo derivs., the strong mutual interaction of the aromatic rings is confirmed.

L9 ANSWER 18 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1963:454789 HCAPLUS
DOCUMENT NUMBER: 59:54789
ORIGINAL REFERENCE NO.: 59:9973b-d
TITLE: Bimolecular alkylidenearylamines. VIII. Synthesis and bromination of 2-methyl-4-N-acetylanilino-1,2,3,4-tetrahydroquinoline
AUTHOR(S): Zalukajevs, L.; Spitsina, L. Ya.
SOURCE: Zhurnal Obshchei Khimii (**1963**), 33(6), 1956-8
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.
AB cf. CA 56, 15481e. 2-Methyl-1-acetyl-4-N-acetylanilino-1,2,3,4-tetrahydroquinoline (28.8 g.) mixed with 86 cc. 10% alc. KOH and the mixture left 1 day and heated 10 hrs. on the water bath gave 16.8 g. 2-methyl-4-Nacetylanilino-1,2,3,4-tetrahydroquinoline (I), m. 161° (alc.); 1-benzoyl derivative m. 183°. Br (4 g.) in CHCl3 was added to 5.5 g. I dissolved in 50 cc. CHCl3, the obtained oil heated to remove CHCl3, washed with H2O and NaHCO3 solution with a little alc., and the resulting oil solidified quickly to give 5.6 g. 2-methyl-6,8-dibromo-4-N-acetylanilino-1,2,3,4-tetrahydroquinoline (II), m. 186° (alc.). II (8 g.) boiled 5 hrs. with 50% H2SO4, the mixture cooled, neutralized, distilled with steam, the obtained solution extracted with ether, the ethereal solution dried with KOH, ether distilled, and the residue dissolved in MeOH gave 2-methyl-6,8-dibromoquinoline, m. 100°; picrate m. 155° (MeOH).

L9 ANSWER 19 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1957:25544 HCAPLUS
DOCUMENT NUMBER: 51:25544
ORIGINAL REFERENCE NO.: 51:5076f-h
TITLE: Investigations in the field of the bimolecular alkylidene-arylamines. IV. Structure of the bromination product of the diacetyl derivative of trans-2-methyl-4-anilino-1,2,3,4-tetrahydroquinoline
AUTHOR(S): Zalukajvs, L.
SOURCE: Latvijas PSR Zinatnu Akademijas Vestis (**1956**), (No. 4), 113-17
CODEN: LZAVAL; ISSN: 0132-6422
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB cf. C.A. 48, 10024b. In order to prove that the bimol. ethylideneaniline is not a trans-1,3-dianilino-1-butene, as stated by Eibner [Ann. 318, 58 (1901)], but trans-2-methyl-4-anilino-1,2,3,4-tetrahydroquinoline (I), the product was acetylated, brominated, and finally hydrolyzed. Acetylating I, m. 126°, yielded the diacetyl derivative (II) in 68% yield, m. 187-8° (from EtOH). Monobromination of 12.8 g. II gave 6.5 g. colorless monobromo derivative (III), m. 156° (from EtOH). Hydrolysis of III by boiling 50% H2SO4 led to the 6-bromoquinaldine, m. 100-1°, which gave no depression when mixed with an authentic sample obtained from p-bromoaniline and paraldehyde. If the bimol. ethylideneaniline had the structure proposed by Eibner, the transformations above would have led to the quinaldine or its 3- or 4-monobromo derivative 8 references.

L9 ANSWER 20 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1954:56688 HCAPLUS
DOCUMENT NUMBER: 48:56688
ORIGINAL REFERENCE NO.: 48:10024e-h
TITLE: Bimolecular alkylidenearylamines. III. Thermal cleavage of 2-methyl-4-anilino-1,2,3,4-tetrahydroquinolines
AUTHOR(S): Zalukajevs, L.
SOURCE: Latvijas PSR Zinatnu Akademijas Vestis (**1951**) 747-52
CODEN: LZAVAL; ISSN: 0132-6422
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB To 9.3 g. PhNH2 in 10 ml. EtOH was added with cooling 4.4 g. AcH, after an

unstated period, the EtOH distilled off and the residue taken up in Et2O; distillation gave 1 g. PhNH2, 3.7 g. quinaldine, and 2.3 g. product, b10 110-15°, converted with HNO2 to a nitroso derivative which, heated with Sn-HCl, yielded some tetrahydroquinaldine (HCl salt, m. 188°). Adding 20 g. AcH to 18.8 g. 2-aminopyridine and letting stand 12 hrs. gave 17 g. MeCH(NHC5H4N)2, m. 113-16° (from C6H6). This gently refluxed 15 min. gave 5.5 g. 2-aminopyridine as a distillate, some MeCH:CHCHO, and 4 g. brown powder, which did not melt sharply and contained 14.5% N; this yielded MeCH:CHCHO with H2SO4. Apparently this was a condensation product of 2-(ethylideneamino)pyridine, formed by cleavage of the original base. trans-2-Methyl-4-anilino-1,2,3,4-tetrahydroquinoline (I) (cf. 2nd preceding abstract) left behind a mother liquor, which, treated with 18.6 g. PhNH2 and 5.6 ml. AcH and allowed to stand 3 days, yielded 9 g. colorless solid, m. 85-6°, identified as cis-I, identical with Eibner's base [Ann. 318, 58(1901)]. Thermal decomposition of either cis- or trans-I gave quinaldine, PhNH2 and H.

L9 ANSWER 21 OF 21 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1953:58662 HCAPLUS
DOCUMENT NUMBER: 47:58662
ORIGINAL REFERENCE NO.: 47:9973e-i,9974a-c
TITLE: 1,2-Dihydroquinoline
AUTHOR(S): Johnson, Wm. S.; Buell, Bennett G.
CORPORATE SOURCE: Univ. of Wisconsin, Madison
SOURCE: Journal of the American Chemical Society (**1952**), 74, 4517-20
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB 2,3-Dihydro-4(1H)-quinolone (I) (10 g.), 9.3 g. Ph(CH2)2NH2 (II), nD20 1.5282, 60 mg. NH4Cl, and 50 cc. dry C6H6 were refluxed 17 hrs., and the solution was concentrated and cooled to deposit 2.92 g. 4-(phenethylamino)-quinoline (III), m. 155.5-9.5°; the mother liquor diluted to about 40 cc. with C6H6, the H2O removed azeotropically by refluxing 12 hrs., the solution cooled to deposit an addnl. 5.3 g. III, the filtrate evaporated, and the residual oil distilled gave II and 1,2-dihydroquinoline (IV) contaminated with II. A solution of 1.21 g. of crude IV in about 10 cc. MeOH saturated with CO2 and diluted by dropwise addition of H2O gave 0.447 g. IV, hard colorless hexagonal plates, m. 72-4.5° (from aqueous MeOH and sublimed at 65-70°/0.1 mm.), λmaximum 228, 278, and 343 mμ (log ε 4.48, 3.18, and 3.35). The ultraviolet absorption spectra of IV freshly dissolved in EtOH saturated with O, determined after 2 and 16 days are recorded. The spectrum after 2 days was practically identical with that of quinoline (V) and different from that of 1,2,3,4-tetrahydroquinoline (VI) and a 1:1 mixture of V and VI. IV treated with BzCl and aqueous KOH or with Ac2O gave only gummy products; IV and HNO2 at 5° gave an orange oil; IV and picric acid gave V picrate (VII), m. 202-3.5°; IV in aqueous MeOH let stand several days and the brown oily product (VIII) treated with picric acid gave VII. VIII gave with H2SO4 V sulfate, m. 162-4°. IV in Me2CO with 2% aqueous KMnO4 gave V, identified as VII. IV (0.132 g.) in 10 cc. EtOH was hydrogenated 17.5 hrs. at atmospheric pressure at room temperature over 0.08 g. 30% Pd-C, the mixture filtered, the filtrate evaporated, and the residual oil benzoylated by the Schotten-Baumann procedure to give 0.189 g. (79%) 1-benzoyl-1,2,3,4-tetrahydroquinoline, colorless rods, m. 74-5.2° (from aqueous EtOH). I (7.1 g.) condensed with 6.6 g. II in 60 cc. C6H6 with ZnCl2 as a catalyst gave 4.54 g. III and, on evaporation of the mother liquor, 8.85 g. oil (IX); IX (1 g.) and excess picric acid in EtOH gave III picrate, m. 198-9°. The deep red filtrate from

the picrate was decomposed with 6N KOH and extracted with C6H6, the extract washed
several times with aqueous KOH, then with 5% HCl, the acid solution made alkaline,
the liberated amine taken up in Et2O, and the Et2O solution worked up to yield 0.57 g. (42%) 1,2,3,4-tetrahydro derivative (X) of III, yellow oil, which X was refluxed with excess Ac2O distilled, and the distillate, b0.01 175-88°, taken up in Et2O, washed with 5% HCl, and again distilled to give the di-Ac derivative of X, almost colorless glass, b0.05-0.08 150-65°. o-O2NC6H4(CH2).2OH was reduced to o-H2NC6H4(CH2)2OH, b0.6 135-6°, nD19 1.5882, and further dehydrated to o-H2NC6H4CH:CH2, b20 111.7-11.9°, nD19 1.6100, λmaximum 221, 250, and 314 mμ (log ε 4.25, 3.90, and 3.16). To 6.4 g. Me3CCHO (XI) was added gradually with cooling 7.76 g. o-MeC6H4NH2 and then solid KOH and the mixture let stand overnight to yield 4.18 g. o-MeC6H4N:CHCMe3, b0.1 51-1.2°, nD26 1.5030, λmaximum 279 mμ (log ε 3.34), giving with 2,4-(O2N)2C6H3NH2 the 2,4-dinitrophenylhydrazone of XI, hydrolyzed rapidly to XI by dilute HCl. The ultraviolet spectra of the following compds. are recorded: V, b22 119.5°, nD25 1.6218, λmaximum 226, 230, 277, 299.5, and 312.5 mμ (log ε 4.51, 4.46, 3.54, 3.48, and 3.53); VI, b30 140°, nD26 1.5922, λmaximum 248 and 299 mμ (log ε 3.86 and 3.30) (1-Bz derivative, m. 74-5.5°; HCl salt, m. 182-3.5°); and 2,2,4-trimethyl-1,2-dihydroquinoline, colorless crystals with violet fluorescence, b0.02 90-5°, m. 26-8°, λmaximum 230, 267, and 341 mμ (log ε 4.49, 3.36, and 3.44).

=> log y

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